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(72) MÜLLER, Klaus Helmut, DE

(72) KÖNIG, Klaus, DE

(72) SANTEL, Hans-Joachim, DE

(72) DOLLINGER, Markus, DE

(72) STENZEL, Klaus, DE

(71) BAYER AKTIENGESELLSCHAFT, DE

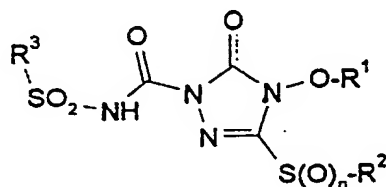
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(54) **SULFONYLAMINOCARBONYLTRIAZOLINONES**

**COMPRENANT DES SUBSTITUANTS LIÉS PAR OXYGENE
ET SOUFRE**

(54) **SULFONYLAMINOCARBONYLTRIAZOLINONES WITH
SUBSTITUENTS BOUND BY OXYGEN AND SULPHUR**



(I)

(57) De nouvelles sulfonylaminocarbonyltriazolinones avec des substituants liés par oxygène et soufre répondent à la formule (I), dans laquelle n vaut 0, 1 ou 2; R¹ désigne hydrogène ou un reste, le cas échéant substitué, du groupe comprenant alkyle, alcényle, alkinyle, cycloalkyle, cycloalcényle, aryle, aralkyle; R² désigne un reste, le cas échéant substitué, du groupe comprenant alkyle, alcényle, alkinyle, cycloalkyle, cycloalcényle, cycloalkylalkyle, aralkyle, aryle; et R³ désigne un reste, le cas échéant substitué, du groupe comprenant alkyle, aralkyle, aryle, hétéroaryle. Certains composés nouveaux répondant à la formule (I) mais déjà décrits dans EP-A 431291 sont exclus. L'invention concerne également les sels de ces nouveaux composés répondant à la formule (I), plusieurs procédés et divers produits intermédiaires nouveaux utilisés pour la préparation de ces nouveaux composés, ainsi que leur utilisation, le cas échéant sous forme de sels, comme herbicides et fongicides.

(57) New sulfonylaminocarbonyltriazolinones with substituents bound by oxygen and sulphur have the formula (I), in which n equals 0, 1 or 2; R¹ stands for hydrogen or an optionally substituted rest from the group alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl; R² stands for an optionally substituted rest from the group alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl, aryl; and R³ stands for an optionally substituted rest from the group alkyl, aralkyl, aryl, heteroaryl. Certain new compounds having the formula (I) but already disclosed in EP-A 431291, are excluded. Also disclosed are salts of the new compounds having the formula (I), several processes and various new intermediate products for preparing the new compounds and their use - possibly as salts - as herbicides and fungicides.



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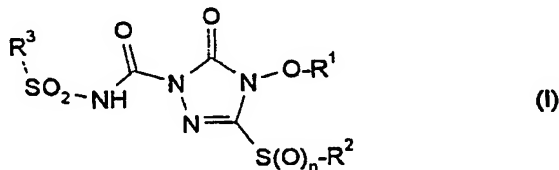
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Sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur

The invention relates to novel sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur, to several processes and various novel intermediates for their preparation and to their use as herbicides and fungicides.

Certain sulphonylaminocarbonyltriazolinones, e.g. the compound 4-amino-5-methylthio-2-(2-trifluoromethoxy-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, are known to have herbicidal properties (cf. EP-A 341489; EP-A 422469; EP-A 425948; EP-A 431291). The last of these documents has also already disclosed some sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur (cf. the following disclaimer). However, the action of these previously known compounds is not satisfactory in all respects.

The novel sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur of the general formula (I):



in which

n is the number 0, 1 or 2,

R¹ is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl,

R² is an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl and aryl, and

R^3 is an optionally substituted radical from the group comprising alkyl, aralkyl, aryl and heteroaryl,

and salts of compounds of the formula (I), have now been found,

the following compounds - known from EP-A 431291 - being excluded by disclaimer:

5 4-methoxy-5-methylthio-2-(2-methoxycarbonyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-ethoxy-5-ethylthio-2-[2-(N-methoxy)-methylaminosulphonyl-phenylsulphonyl-aminocarbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-propoxy-5-allylthio-2-(2-methyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-methoxy-5-methylthio-2-

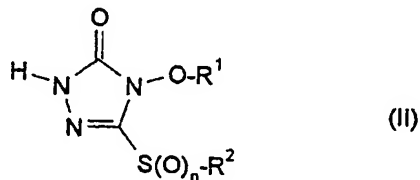
10 (2-methoxycarbonyl-thien-3-yl-sulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-ethoxy-5-methylthio-2-(2-methoxy-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-ethoxy-5-ethylthio-2-[2-(2-chloro-ethoxy)-phenylsulphonyl-aminocarbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-allyloxy-5-ethylthio-2-(2-fluoro-phenylsulphonyl-aminocarbonyl)-2,4-

15 dihydro-3H-1,2,4-triazol-3-one, 4-methoxy-5-ethylthio-2-(3-aminosulphonyl-pyridin-2-yl-sulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one and 4-methoxy-5-ethylthio-2-(2,6-difluoro-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one.

The novel sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur of the formula (I) are obtained by

20

(a) reacting triazolinones of the general formula (II):



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in which

n, R¹ and R² are as defined above,

with sulphonyl isocyanates of the general formula (III):



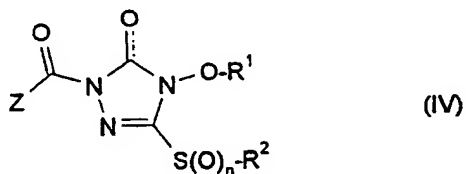
5 in which

R³ is as defined above,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

or by

10 (b) reacting triazolinone derivatives of the general formula (IV):



in which

n, R¹ and R² are as defined above and

Z is halogen, alkoxy, aralkoxy or aryloxy,

with sulphonamides of the general formula (V):



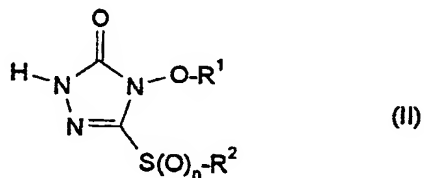
in which

R^3 is as defined above,

5 optionally in the presence of an acid acceptor and optionally in the presence of a diluent,

or by

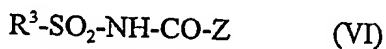
(c) reacting triazolinones of the general formula (II):



in which

n , R^1 and R^2 are as defined above,

10 with sulphonamide derivatives of the general formula (VI):



in which

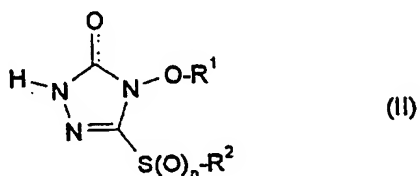
R^3 is as defined above and

Z is halogen, alkoxy, aralkoxy or aryloxy,

optionally in the presence of an acid acceptor and optionally in the presence of a diluent,

or by

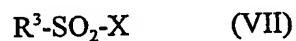
(d) reacting triazolinones of the general formula (II):



5 in which

n, R¹ and R² are as defined above,

with sulphonyl halides of the general formula (VII):



in which

10 R³ is as defined above and

X is halogen,

and with metal cyanates of the general formula (VIII):



in which

M is an alkali metal or alkaline earth metal equivalent,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

5 and the compounds of the formula (I) obtained by process (a), (b), (c) or (d) are optionally converted to salts by conventional methods.

If R^2 is propargyl in the novel compounds of the formulae (I), (II) and (IV), they can be isomerized to corresponding compounds in which R^2 is allenyl (= propa-1,2-dienyl) by treatment with bases (cf. the Preparatory Examples).

10 A feature of the novel sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur of the general formula (I) is their potent herbicidal and fungicidal activity.

15 Surprisingly, the novel compounds of the formula (I) exhibit a considerably more potent herbicidal action than structurally similar compounds representative of the state of the art, e.g. the known 4-amino-5-methylthio-2-(2-trifluoromethoxy-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one.

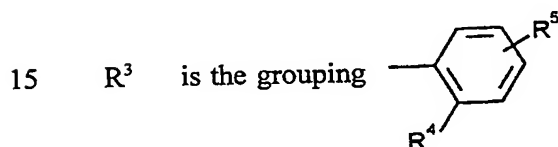
The invention preferentially provides compounds of the formula (I) in which

n is the number 0, 1 or 2,

20 R^1 is hydrogen, C_1 - C_6 -alkyl optionally substituted by fluorine, chlorine, bromine, cyano, C_1 - C_4 -alkoxy, C_1 - C_4 -alkyl-carbonyl or C_1 - C_4 -alkoxy-carbonyl, C_2 - C_6 -alkenyl or C_2 - C_6 -alkinyl, each of which is optionally substituted by fluorine, chlorine and/or bromine, C_3 - C_6 -cycloalkyl or C_5 - C_6 -cycloalkenyl, each of which is optionally substituted by fluorine, chlorine, bromine and/or C_1 - C_4 -alkyl, or phenyl or phenyl- C_1 - C_3 -alkyl, each of which is optionally substituted by

fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy and/or C₁-C₄-alkoxy-carbonyl,

R² is C₁-C₆-alkyl optionally substituted by fluorine, chlorine, bromine, cyano, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio or C₁-C₄-alkoxy-carbonyl, C₂-C₆-alkenyl or C₂-C₆-alkynyl, each of which is optionally substituted by fluorine, chlorine and/or bromine, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkenyl or C₃-C₆-cycloalkyl-C₁-C₃-alkyl, each of which is optionally substituted by fluorine, chlorine, bromine and/or C₁-C₄-alkyl, phenyl-C₁-C₃-alkyl optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy and/or C₁-C₄-alkoxy-carbonyl, or phenyl optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy, fluorine- and/or chlorine-substituted C₁-C₃-alkoxy, C₁-C₄-alkylthio, fluorine- and/or chlorine-substituted C₁-C₃-alkylthio, C₁-C₄-alkyl-sulphinyl, C₁-C₄-alkylsulphonyl and/or C₁-C₄-alkoxy-carbonyl, and



wherein

R⁴ and R⁵ are identical or different and are hydrogen, fluorine, chlorine, bromine, iodine, nitro, C₁-C₆-alkyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkylamino-carbonyl, di-(C₁-C₄-alkyl)amino-carbonyl, hydroxyl, C₁-C₄-alkoxy, formyloxy, C₁-C₄-alkyl-carbonyloxy, C₁-C₄-alkoxy-carbonyloxy, C₁-C₄-alkylamino-carbonyloxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl, C₁-C₄-alkylsulphonyl, di-(C₁-C₄-alkyl)-aminosulphonyl, C₃-C₆-cycloalkyl or

5 phenyl), C₂-C₆-alkenyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, C₁-C₄-alkoxy-carbonyl, carboxyl or phenyl), C₂-C₆-alkinyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, C₁-C₄-alkoxy-carbonyl, carboxyl or phenyl), C₁-C₄-alkoxy (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl), C₁-C₄-alkylthio (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl), C₂-C₆-alkenyloxy (which is optionally substituted by fluorine, chlorine, bromine, cyano or C₁-C₄-alkoxy-carbonyl), C₂-C₆-alkenylthio (which is optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₃-alkylthio or C₁-C₄-alkoxycarbonyl), C₃-C₆-alkinyloxy, C₃-C₆-alkinylthio or the radical -S(O)_p-R⁶, in which

15 p is the number 1 or 2 and

20 R⁶ is C₁-C₄-alkyl (which is optionally substituted by fluorine, chlorine, bromine, cyano or C₁-C₄-alkoxy-carbonyl), C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkylamino, C₁-C₄-alkylamino, di-(C₁-C₄-alkyl)-amino, phenyl or the radical -NHOR⁷, in which

2. R⁷ is C₁-C₁₂-alkyl (which is optionally substituted by fluorine, chlorine, cyano, C₁-C₄-alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl, C₁-C₄-alkylsulphonyl, C₁-C₄-alkyl-carbonyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkylamino-carbonyl or di-(C₁-C₄-alkyl)-amino-carbonyl), C₃-C₆-alkenyl (which is optionally substituted by fluorine, chlorine or bromine), C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₂-alkyl, phenyl-C₁-C₂-alkyl (which is optionally substituted by fluorine, chlorine, nitro, cyano, C₁-C₄-alkyl, C₁-C₄-

2201596

alkoxy or C₁-C₄-alkoxy-carbonyl), benzhydryl or phenyl (which is optionally substituted by fluorine, chlorine, nitro, cyano, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy, C₁-C₂-fluoroalkoxy, C₁-C₄-alkylthio, trifluoromethylthio or C₁-C₄-alkoxy-carbonyl),

5 R⁴ and/or R⁵ are also phenyl or phenoxy, C₁-C₄-alkyl-carbonylamino, C₁-C₄-alkoxy-carbonylamino, C₁-C₄-alkylamino-carbonyl-amino, di-(C₁-C₄-alkyl)-amino-carbonylamino or the radical -CO-R⁸, in which

10 R⁸ is hydrogen, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₃-C₆-cycloalkoxy, C₃-C₆-alkenyloxy, C₁-C₄-alkylthio, C₁-C₄-alkylamino, C₁-C₄-alkoxyamino, C₁-C₄-alkoxy-C₁-C₄-alkylamino or di-(C₁-C₄-alkyl)-amino (which are optionally substituted by fluorine and/or chlorine), or

R⁴ and/or R⁵ are also trimethylsilyl, thiazoliny, C₁-C₄-alkylsulphonyloxy, di-(C₁-C₄-alkyl)-aminosulphonylamino or the radical

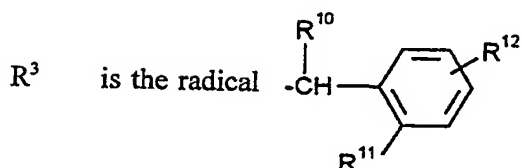
15 -CH=N-R⁹, in which

20 R⁹ is C₁-C₆-alkyl optionally substituted by fluorine, chlorine, cyano, carboxyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl, benzyl optionally substituted by fluorine or chlorine, C₃-C₆-alkenyl or C₃-C₆-alkinyl, each of which is optionally substituted by fluorine or chlorine, phenyl optionally substituted by fluorine, chlorine, bromine, C₁-C₄-alkyl, C₁-C₄-alkoxy, trifluoromethyl, trifluoromethoxy or trifluoromethylthio, C₁-C₆-alkoxy, C₃-C₆-alkenoxy, C₃-C₆-alkinoxy or benzyloxy, each of which is optionally substituted by fluorine and/or chlorine,

25 amino, C₁-C₄-alkylamino, di-(C₁-C₄-alkyl)-amino, phenylamino, C₁-C₄-alkyl-carbonylamino, C₁-C₄-alkoxy-carbonylamino, C₁-C₄-alkyl-

sulphonylamino, or phenylsulphonylamino optionally substituted by fluorine, chlorine, bromine or methyl,

or

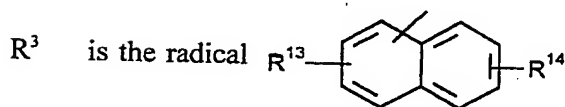


5 wherein

R¹⁰ is hydrogen or C₁-C₄-alkyl and

10 R¹¹ and R¹² are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), carboxyl, C₁-C₄-alkoxycarbonyl, dimethylaminocarbonyl, C₁-C₄-alkylsulphonyl or di-(C₁-C₄-alkyl)-aminosulphonyl,

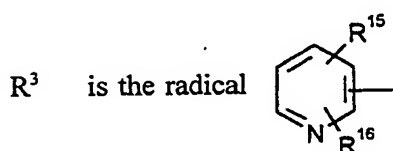
or



15 wherein

R^{13} and R^{14} are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C_1 - C_4 -alkyl (which is optionally substituted by fluorine and/or chlorine) or C_1 - C_4 -alkoxy (which is optionally substituted by fluorine and/or chlorine),

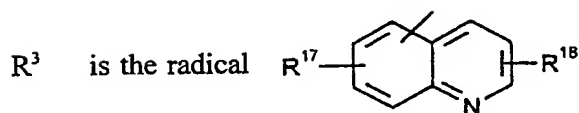
5 or



wherein

R^{15} and R^{16} are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C_1 - C_4 -alkyl (which is optionally substituted by fluorine and/or chlorine), C_1 - C_4 -alkoxy (which is optionally substituted by fluorine and/or chlorine), C_1 - C_4 -alkylthio, C_1 - C_4 -alkylsulphinyl or C_1 - C_4 -alkylsulphonyl (which are optionally substituted by fluorine and/or chlorine), aminosulphonyl, mono- $(C_1$ - C_4 -alkyl)-aminosulphonyl, di- $(C_1$ - C_4 -alkyl)-aminosulphonyl, C_1 - C_4 -alkoxy-carbonyl or dimethylaminocarbonyl,

15 or

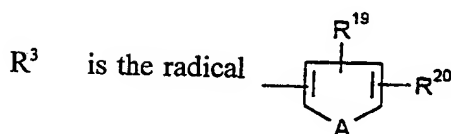


wherein

R^{17} and R^{18} are identical or different and are hydrogen, fluorine, chlorine,

bromine, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or bromine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl (which are optionally substituted by fluorine and/or chlorine) or di-(C₁-C₄-alkyl)-aminosulphonyl,

or



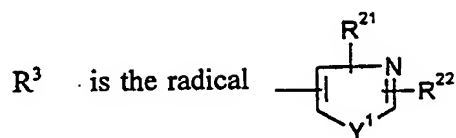
wherein

R¹⁹ and R²⁰ are identical or different and are hydrogen, fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl (which is optionally substituted by fluorine and/or chlorine), di-(C₁-C₄-alkyl)-amino-sulphonyl, C₁-C₄-alkoxy-carbonyl or dimethylaminocarbonyl, and

A is oxygen, sulphur or the grouping N-Z¹, in which

Z¹ is hydrogen, C₁-C₄-alkyl (which is optionally substituted by fluorine, chlorine, bromine or cyano), C₃-C₆-cycloalkyl, benzyl, phenyl (which is optionally substituted by fluorine, chlorine, bromine or nitro), C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxycarbonyl or di-(C₁-C₄-alkyl)-aminocarbonyl,

or



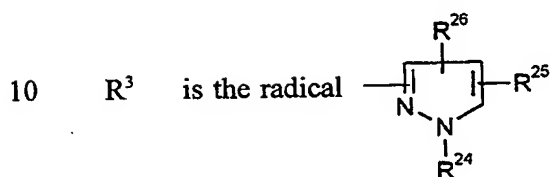
wherein

5 R²¹ and R²² are identical or different and are hydrogen, C₁-C₄-alkyl, halogen, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkoxy or C₁-C₄-halogenoalkoxy, and

Y¹ is sulphur or the grouping N-R²³, in which

R²³ is hydrogen or C₁-C₄-alkyl,

or



wherein

R²⁴ is hydrogen, C₁-C₄-alkyl, benzyl, pyridyl, quinolinyl or phenyl,

15 R²⁵ is hydrogen, halogen, cyano, nitro, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), dioxolanyl or C₁-C₄-alkoxy-

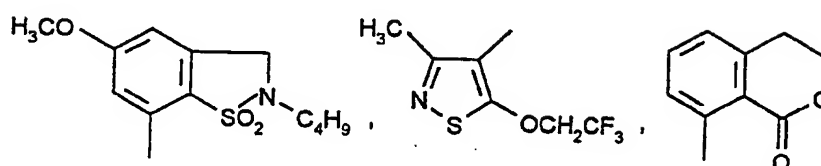
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carbonyl, and

R^{26} is hydrogen, halogen or C_1 - C_4 -alkyl,

or

R^3 is one of the groupings listed below:



5 with the exception of the compounds excluded by disclaimer above. - -

The invention also preferentially provides sodium, potassium, magnesium, calcium, ammonium, C_1 - C_4 -alkyl-ammonium, di-(C_1 - C_4 -alkyl)-ammonium, tri-(C_1 - C_4 -alkyl)-ammonium, tetra-(C_1 - C_4 -alkyl)-ammonium, tri-(C_1 - C_4 -alkyl)-sulphonium, C_5 - or C_6 -cycloalkyl-ammonium and di-(C_1 - C_2 -alkyl)-benzyl-ammonium salts of compounds of the formula (I), in which n , R^1 , R^2 and R^3 have the preferred meanings given above.

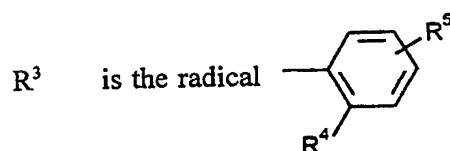
The invention especially provides compounds of the formula (I) in which

n is the number 0, 1 or 2,

15 R^1 is methyl, ethyl, n - or i -propyl or n -, i -, s - or t -butyl, each of which is optionally substituted by fluorine, chlorine, cyano, methoxy or ethoxy, propenyl, butenyl, propinyl or butinyl, each of which is optionally substituted by fluorine, chlorine or bromine, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, each of which is optionally substituted by fluorine, chlorine, bromine, methyl or ethyl, or benzyl or phenyl, each of which is optionally substituted by fluorine, chlorine,

bromine, cyano, methyl, trifluoromethyl or methoxy,

R^2 is methyl, ethyl, n- or i-propyl or n-, i-, s- or t-butyl, each of which is optionally substituted by fluorine, chlorine, cyano, methoxy, ethoxy, methylthio or ethylthio, propenyl, butenyl, propinyl, butinyl or allenyl, each of which is optionally substituted by fluorine, chlorine or bromine, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, each of which is optionally substituted by fluorine, chlorine, bromine, methyl or ethyl, or phenyl or benzyl, each of which is optionally substituted by fluorine, chlorine, bromine, cyano, methyl, trifluoromethyl or methoxy, and

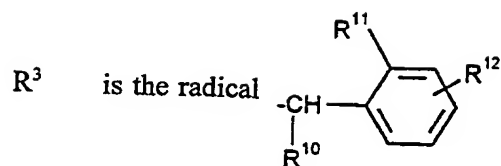


wherein

R^4 is fluorine, chlorine, bromine, methyl, ethyl, propyl, trifluoromethyl, butoxy, allyloxy, propargyloxy, methoxy, ethoxy, propoxy, isopropoxy, difluoromethoxy, trifluoromethoxy, 2-chloro-ethoxy, 2-methoxy-ethoxy, C_1 - C_3 -alkylthio, C_1 - C_3 -alkylsulphinyl, C_1 - C_3 -alkylsulphonyl, dimethylaminosulphonyl, diethylaminosulphonyl, N-methoxy-N-methylaminosulphonyl, methoxyaminosulphonyl, phenyl, phenoxy or C_1 - C_3 -alkoxycarbonyl, and

R^5 is hydrogen, methyl, ethyl, fluorine, chlorine or bromine,

or



wherein

R^{10} is hydrogen,

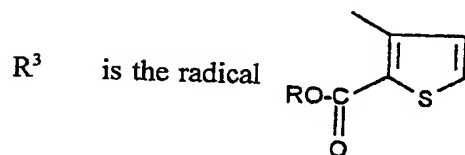
5

R^{11} is fluorine, chlorine, bromine, methyl, methoxy, difluoromethoxy, trifluoromethoxy, ethoxy, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl or dimethylaminosulphonyl, and

10

R^{12} is hydrogen,

or



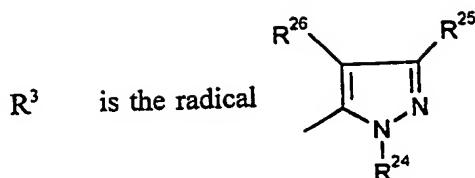
15

wherein

R is C_1 - C_4 -alkyl,

20

or



wherein

R^{24} is C_1 - C_3 -alkyl, phenyl or pyridyl,

5

R^{25} is hydrogen, fluorine, chlorine or bromine and

R^{26} is fluorine, chlorine, bromine or C_1 - C_3 -alkoxy-carbonyl,

10

with the exception of the compounds excluded by disclaimer above.

The general or preferred radical definitions listed above apply both to the end products of the formula (I) and to the corresponding starting materials or intermediates required for their preparation. These radical definitions can be freely combined with one another, including between the preferred meanings indicated.

15

The hydrocarbon radicals mentioned in the radical definitions, such as alkyl, alkenyl or alkynyl, and their forms combined with heteroatoms, such as alkoxy, alkylthio or alkylamino, are linear or branched, even when this is not expressly indicated.

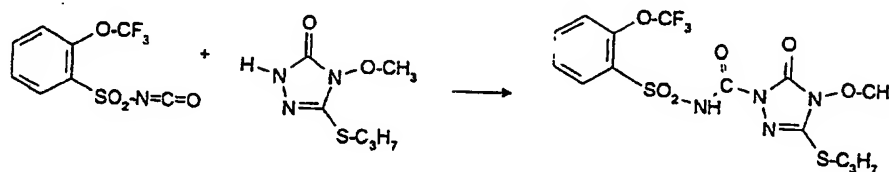
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Halogen is generally fluorine, chlorine, bromine or iodine, preferably fluorine, chlorine or bromine and especially fluorine or chlorine.

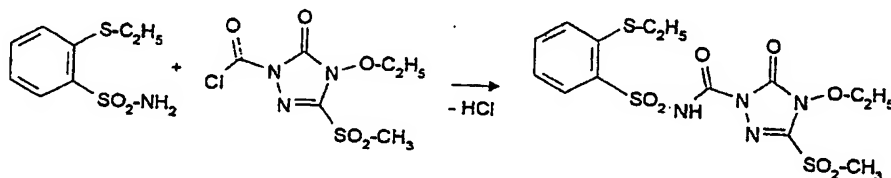
25

If, for example, 2-trifluoromethoxy-phenylsulphonyl isocyanate and 4-methoxy-5-propylthio-2,4-dihydro-3H-1,2,4-triazol-3-one are used as starting materials, the

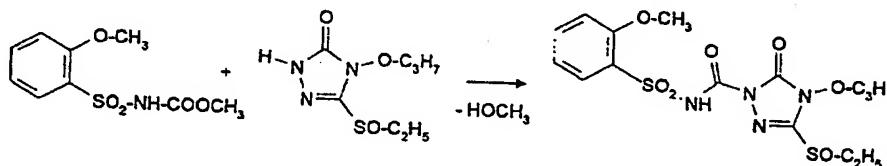
course of the reaction in process (a) according to the invention can be outlined by the following equation:



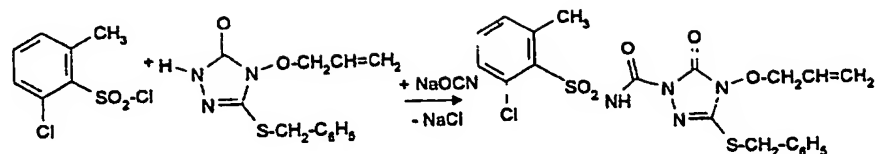
If, for example, 2-ethylthio-benzenesulphonamide and 2-chlorocarbonyl-4-ethoxy-5-methylsulphonyl-2,4-dihydro-3H-1,2,4-triazol-3-one are used as starting materials, the course of the reaction in process (b) according to the invention can be outlined by the following equation:



If, for example, N-methoxycarbonyl-2-methoxy-benzenesulphonamide and 5-ethylsulphiny-2,4-dihydro-3H-1,2,4-triazol-3-one are used as starting materials, the course of the reaction in process (c) according to the invention can be outlined by the following equation:



If, for example, 2-chloro-6-methyl-benzenesulphonyl chloride, 4-allyloxy-5-benzylthio-2,4-dihydro-3H-1,2,4-triazol-3-one and sodium cyanate are used as starting materials, the course of the reaction in process (d) according to the invention can be outlined by the following equation:

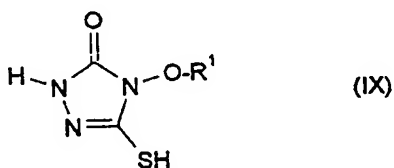


The triazolinones to be used as starting materials in processes (a), (c) and (d) according to the invention for the preparation of compounds of the formula (I) are generally defined by the formula (II).

- 5 In the formula (II), the preferred or particularly preferred meanings of n , R^1 and R^2 are those which have already been indicated above as the preferred or particularly preferred meanings of n , R^1 and R^2 in connection with the description of the compounds of the formula (I) according to the invention.
- 10 The triazolinones of the general formula (II) are not yet known from the literature; they are also provided by the present patent application as novel substances.

The novel triazolinones of the formula (II) are obtained by reacting mercapto-triazolinones of the general formula (IX):

15



in which

20

R^1 is as defined above,

- or metal salts of compounds of the formula (IX) -

25 with compounds of the general formula (X):



in which

R^2 is as defined above and

5 X is halogen or the grouping $-O-SO_2-OR^2$,

optionally in the presence of an acid acceptor, e.g. potassium hydroxide, potassium
t-butylate or potassium carbonate, and optionally in the presence of a diluent, e.g.
methanol or ethanol, at temperatures between $0^\circ C$ and $100^\circ C$, and then optionally
10 oxidizing the products to corresponding sulfoxides or sulphones in conventional
manner (cf. the Preparatory Examples).

In the formula (X), the preferred or particularly preferred meaning of R^1 is that
which has already been indicated above as the preferred or particularly preferred
15 meaning of R^1 in connection with the description of the compounds of the formula
(I) according to the invention.

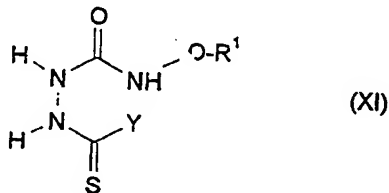
The alkali metal salts and alkaline earth metal salts, especially the sodium,
potassium, magnesium and calcium salts, may be singled out as preferred metal
20 salts of the compounds of the formula (IX).

The mercapto-triazolinones of the general formula (IX) - and their metal salts - are
not yet known from the literature; they are also provided by the present patent
application as novel substances.

25

The novel mercaptotriazolinones of the formula (IX) are obtained by reacting semi-
carbazine derivatives of the general formula (XI):

30



in which

R^1 is as defined above and

5 Y is halogen, imidazolyl, alkoxy, aralkoxy or aryloxy,

optionally in the presence of a reaction auxiliary, e.g. potassium carbonate, and optionally in the presence of a diluent, e.g. methanol or ethanol, at temperatures between 20°C and 150°C (cf. the Preparatory Examples).

10

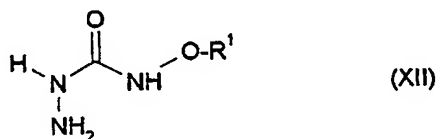
In the formula (XI), the preferred or particularly preferred meaning of R^1 is that which has already been indicated above as the preferred or particularly preferred meaning of R^1 in connection with the description of the compounds of the formula (I) according to the invention; Y is preferably fluorine, chlorine, bromine, iodine,

15 methoxy, ethoxy, benzyloxy or phenoxy, especially methoxy or phenoxy.

The semicarbazide derivatives of the general formula (XI) are not yet known from the literature; they are also provided by the present patent application as novel substances.

20

The novel semicarbazide derivatives of the formula (XI) are obtained by reacting semicarbazides of the general formula (XII):



25

in which

R^1 is as defined above,

30

with acylating agents of the general formula (XIII):

X¹-CS-Y (XIII)

in which

5 Y is as defined above and

X¹ is halogen,

optionally in the presence of an acid acceptor, e.g. triethylamine, and optionally in
10 the presence of a diluent, e.g. methylene chloride, at temperatures between 0°C and
100°C (cf. the Preparatory Examples).

The precursors of the formula (XII) are known and/or can be prepared by processes
known per se (cf. J. Prakt. Chem. 313 (1971), 636-641; DE-A 2044834; Preparatory
15 Examples).

The precursors of the formula (XIII) are known synthetic chemicals.

The alkylating agents required for the preparation of the starting materials of the
20 formula (II) are generally defined by the formula (X). In the formula (X), the
preferred or particularly preferred meaning of R² is that which has already been
indicated above as the preferred or particularly preferred meaning of R² in
connection with the description of the compounds of the formula (I) according to
the invention; X is preferably fluorine, chlorine, bromine or iodine, especially
25 chlorine, bromine or iodine.

The compounds of the formula (X) are known synthetic chemicals.

The sulphonyl isocyanates also to be used as starting materials in process (a)
30 according to the invention for the preparation of compounds of the formula (I) are
generally defined by the formula (III).

In the formula (III), the preferred or particularly preferred meaning of R^3 is that which has already been indicated above as the preferred or particularly preferred meaning of R^3 in connection with the description of the compounds of the formula (I) according to the invention.

5

The starting materials of the formula (III) are known and/or can be prepared by processes known per se (cf. US-P 4127405, US-P 4169719, US-P 4371391, EP-A 7687, EP-A 13480, EP-A 21641, EP-A 23141, EP-A 23422, EP-A 30139, EP-A 35893, EP-A 44808, EP-A 44809, EP-A 48143, EP-A 51466, EP-A 64322, EP-A 70041, EP-A 173312).

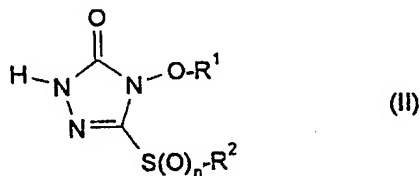
10

The triazolinone derivatives to be used as starting materials in process (b) according to the invention for the preparation of the compounds of the general formula (I) are generally defined by the formula (IV). In the formula (IV), the preferred or particularly preferred meanings of n , R^1 and R^2 are those which have already been indicated above as the preferred or particularly preferred meanings of n , R^1 and R^2 in connection with the description of the compounds of the formula (I); Z is preferably fluorine, chlorine, bromine, methoxy, ethoxy, benzyloxy, phenoxy, halogeno-phenoxy or nitro-phenoxy, especially methoxy, phenoxy or 4-nitro-phenoxy.

20

The starting materials of the formula (IV) are not yet known from the literature; they are provided by the present patent application as novel substances.

25 The novel compounds of the formula (IV) are obtained by reacting triazolinones of the general formula (II):



30

in which

with carbonic acid derivatives of the general formula (XIV):



Z is as defined above and

Z^1 is halogen, alkoxy, aralkoxy or aryloxy,

optionally in the presence of an acid acceptor, e.g. potassium t-butyrate, and optionally in the presence of a diluent, e.g. tetrahydrofuran or dimethoxyethane, at 15 temperatures between 0°C and 100°C.

The sulphonamides also to be used as starting materials in process (b) according to the invention for the preparation of the compounds of the general formula (I) are generally defined by the formula (V). In the formula (V), the preferred or particularly preferred meaning of R^3 is that which has already been indicated above as the preferred or particularly preferred meaning of R^3 in connection with the description of the compounds of the formula (I).

25 The starting materials of the formula (V) are known and/or can be prepared by processes known per se (cf. US-P 4127405, US-P 4169719, US-P 4371391, EP-A 7687, EP-A 13480, EP-A 21641, EP-A 23141, EP-A 23422, EP-A 30139, EP-A 35893, EP-A 44808, EP-A 44809, EP-A 48143, EP-A 51466, EP-A 64322, EP-A 70041, EP-A 173312).

30 The sulphonamide derivatives to be used as starting materials in process (c) according to the invention for the preparation of the compounds of the formula (I) are generally defined by the formula (VI). In the formula (VI), the preferred or

particularly preferred meaning of R^3 is that which has already been indicated above as the preferred or particularly preferred meaning of R^3 in connection with the description of the compounds of the formula (I); Z is preferably fluorine, chlorine, bromine, methoxy, ethoxy, benzyloxy or phenoxy, especially methoxy or phenoxy.

5

The starting materials of the formula (VI) are known and/or can be prepared by processes known per se.

10 The sulphonyl halides to be used as starting materials in process (d) according to the invention for the preparation of the compounds of the formula (I) are generally defined by the formula (VII). In the formula (VII), the preferred or particularly preferred meaning of R^3 is that which has already been indicated above as the preferred or particularly preferred meaning of R^3 in connection with the description of the compounds of the formula (I); X is preferably fluorine, chlorine or bromine,
15 especially chlorine.

The starting materials of the formula (VII) are known and/or can be prepared by processes known per se.

20 Processes (a), (b), (c) and (d) according to the invention for the preparation of the novel compounds of the formula (I) are preferably carried out using diluents, suitable diluents being practically any inert organic solvents. These preferably include optionally halogenated aliphatic and aromatic hydrocarbons such as pentane, hexane, heptane, cyclohexane, petroleum ether, benzine, ligroin, benzene,
25 toluene, xylene, methylene chloride, ethylene chloride, chloroform, carbon tetrachloride, chlorobenzene and o-dichlorobenzene, ethers such as diethyl and dibutyl ether, glycol dimethyl ether and diglycol dimethyl ether, tetrahydrofuran and dioxane, ketones such as acetone, methyl ethyl ketone, methyl isopropyl ketone and methyl isobutyl ketone, esters such as methyl and ethyl acetate, nitriles such as e.g.
30 acetonitrile and propionitrile, amides such as e.g. dimethylformamide, dimethylacetamide and N-methylpyrrolidone, and dimethyl sulphoxide, tetramethylene sulphone and hexamethylphosphorotriamide.

The reaction auxiliaries or acid acceptors used in processes (a), (b), (c) and (d) according to the invention can be any of the acid binding agents conventionally usable for such reactions, suitable agents preferably being alkali metal hydroxides such as e.g. sodium and potassium hydroxide, alkaline earth metal hydroxides such as e.g. calcium hydroxide, alkali metal carbonates and alcoholates such as sodium and potassium carbonate and sodium and potassium tert-butate, and also basic nitrogen compounds such as trimethylamine, triethylamine, tripropylamine, tributylamine, diisobutylamine, dicyclohexylamine, ethyldiisopropylamine, ethyl-dicyclohexylamine, N,N-dimethylbenzylamine, N,N-dimethyl-aniline, pyridine, 2-methyl-, 3-methyl-, 4-methyl-, 2,4-dimethyl-, 2,6-dimethyl-, 2-ethyl-, 4-ethyl- and 5-ethyl-2-methyl-pyridine, 1,5-diazabicyclo[4.3.0]-non-5-ene (DBN), 1,8-diazabicyclo-[5.4.0]-undec-7-ene (DBU) and 1,4-diazabicyclo-[2.2.2]-octane (DABCO).

The reaction temperatures in processes (a), (b), (c) and (d) according to the invention can be varied within relatively wide limits. The reactions are generally carried out at temperatures between -20°C and +100°C, preferably at temperatures between 0°C and +80°C.

Processes (a), (b), (c) and (d) according to the invention are generally carried out under normal pressure, although they can also be carried out under elevated or reduced pressure.

To carry out processes (a), (b), (c) and (d) according to the invention, the appropriate starting materials required are generally used in approximately equimolar amounts, although it is also possible to use one of the appropriate components in relatively large excess. The reactions are generally carried out in a suitable diluent, in the presence of an acid acceptor, and the reaction mixture is stirred for several hours at the appropriate required temperature. Working-up in processes (a), (b), (c) and (d) according to the invention is effected by appropriate conventional methods (cf. the Preparatory Examples).

Salts can optionally be prepared from the compounds of the general formula (I)

according to the invention. Such salts are easily obtained by conventional salification methods, for example by dissolving or dispersing a compound of the formula (I) in a suitable solvent, e.g. methylene chloride, acetone, tert-butyl methyl ether or toluene, and adding a suitable base. The salts can then be isolated by
5 concentration or suction filtration, after prolonged stirring if necessary.

The active substances according to the invention can be used as defoliants, desiccants, herbicides and, in particular, weedkillers. Weeds in the broadest sense are to be understood as meaning any plants which grow in places where they are
10 not desired. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount applied.

The active substances according to the invention can be used e.g. on the following plants:

15

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium,
20 Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus, Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis,
25 Brassica, Lactuca, Cucumis, Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis,
30 Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus, Allium.

5 However, the use of the active substances according to the invention is in no way restricted to these genera but also covers other plants in the same way.

10 Depending on the concentration, the compounds are suitable for total weed control, e.g. on industrial sites, along railway tracks and on paths and other locations, whether they are planted with trees or not. The compounds can also be used for weed control in permanent crops, e.g. forest, ornamental copses, orchards, vineyards, citrus fruit, nut, banana, coffee, tea, rubber, oil palm, cocoa and berry plantations and hop fields, and on lawns, sports turf and pastures, and for selective weed control in annual crops.

15 The compounds of the formula (I) according to the invention are particularly suitable for the selective control of dicotyledonous weeds in monocotyledonous crops, both as a pre-emergence treatment and as a post-emergence treatment.

20 Furthermore, the active substances of the formula (I) according to the invention also exhibit an interesting fungicidal action against phytopathogenic fungi, especially *Venturia inaequalis*, and also to a certain extent against *Pyricularia oryzae*, e.g. on rice.

25 The active substances can be converted to the conventional formulations such as solutions, emulsions, wettable powders, suspensions, powders, dusts, pastes, soluble powders, granules, suspension/emulsion concentrates, natural and synthetic substances impregnated with active substance, and very small polymer capsules.

30 These formulations are prepared in known manner, e.g. by mixing the active substances with extenders, i.e. liquid solvents and/or solid carriers, optionally with the use of surface-active agents, i.e. emulsifiers and/or dispersants and/or foaming agents.

5 In the case where water is used as an extender, it is also possible to use e.g. organic solvents as co-solvents. Suitable liquid solvents are essentially aromatics such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons such as cyclohexane or paraffins, e.g. petroleum fractions, mineral and vegetable oils, alcohols such as butanol or glycol and their ethers and esters, ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents such as dimethylformamide and dimethyl sulphoxide, and water.

10

Suitable solid carriers are e.g. ammonium salts, natural crushed rocks such as kaolins, clays, talcum, chalk, quartz, attapulgit, montmorillonite or diatomaceous earth, and synthetic crushed rocks such as highly disperse silicic acid, aluminium oxide and silicates; suitable solid carriers for granules are e.g. broken and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, synthetic granules of inorganic and organic flours and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stems; suitable emulsifiers and/or foaming agents are e.g. non-ionic and anionic emulsifiers such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, e.g. alkylaryl polyglycol ethers, alkylsulphonates, alkylsulphates, arylsulphonates and protein hydrolyzates; and suitable dispersants are e.g. lignin sulphite spent liquors and methyl cellulose.

20

25 The formulations can contain tackifiers such as carboxymethyl cellulose, natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, natural phospholipids such as cephalins and lecithins, and synthetic phospholipids. Other possible additives are mineral and vegetable oils.

30

It is possible to use colorants such as inorganic pigments, e.g. iron oxide, titanium oxide and Prussian blue, and organic dyes such as alizarin, azo and metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper,

cobalt, molybdenum and zinc.

The formulations generally contain between 0.1 and 95 per cent by weight of active substance, preferably between 0.5 and 90%.

5

The active substances according to the invention, either as such or in their formulations, can also be used as a mixture with known herbicides for controlling weeds, possible compositions being finished formulations or tank mixtures.

- 10 The mixtures can contain known herbicides, for example anilides such as e.g. diflufenican and propanil; arylcarboxylic acids such as e.g. dichloropicolinic acid, dicamba and picloram; aryloxyalkanoic acids such as e.g. 2,4-D, 2,4-DB, 2,4-DP, fluroxypyr, MCPA, MCPP and triclopyr; aryloxy-phenoxy-alkanoic acid esters such as e.g. diclofop-methyl, fenoxaprop-ethyl, fluazifop-butyl, haloxyfop-methyl and quizalofop-ethyl; azinones such as e.g. chloridazone and norflurazone; carbamates
- 15 such as e.g. chlorpropham, desmedipham, phenmedipham and propham; chloroacetanilides such as e.g. alachlor, acetochlor, butachlor, metazachlor, metolachlor, pretilachlor and propachlor; dinitroanilines such as e.g. oryzalin, pendimethalin and trifluralin; diphenyl ethers such as e.g. acifluorfen, bifenox, fluoroglycofen, fomesafen, halosafen, lactofen and oxyfluorfen; ureas such as e.g. chlortoluron, diuron, fluometuron, isoproturon, linuron and methabenzthiazuron; hydroxylamines
- 20 such as e.g. alloxydim, clethodim, cycloxydim, sethoxydim and tralkoxydim; imidazolinones such as e.g. imazethapyr, imazamethabenz, imazapyr and imazaquin; nitriles such as e.g. bromoxynil, dichlobenil and ioxynil; oxyacetamides such as e.g. mefenacet; sulphonylureas such as e.g. amidosulfuron; bensulfuron-methyl, chlorimuron-ethyl, chlorsulfuron, cinosulfuron, metsulfuron-methyl, nicosulfuron, primisulfuron, pyrazosulfuron-ethyl, thifensulfuron-methyl, triasulfuron and tribenuron-methyl; thiocarbamates such as e.g. butylate, cycloate, diallate, EPTC, esprocarb, molinate, prosulfocarb, thicencarb and triallate; triazines such as e.g.
- 25 atrazine, cyanazine, simazine, simetryne, terbutryne and terbutylazine; triazinones such as e.g. hexazinone, metamitron and metribuzin; and other herbicides such as e.g. aminotriazole, benfuresate, bentazone, cinmethylin, clomazone, clopyralid,
- 30

difenzoquat, dithiopyr, ethofumesate, fluorchloridone, glufosinate, glyphosate, isoxaben, pyridate, quinchlorac, quinmerac, sulphosate and tridiphane.

5 The active substances according to the invention can also be mixed with other known active substances such as fungicides, insecticides, acaricides, nematocides, bird repellents, plant nutrients and soil conditioners.

10 The active substances can be applied as such, as their formulations or as application forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are applied in conventional manner, e.g. by watering, spraying (large or small volume application) or scattering.

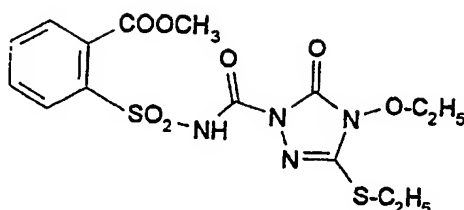
15 The active substances according to the invention can be applied to the plants either as a pre-emergence treatment or as a post-emergence treatment. They can also be incorporated into the soil prior to sowing.

20 The amount of active substance used can vary within relatively wide limits. It depends essentially on the type of effect desired. The amounts applied are generally between 10 g and 10 kg of active substance per hectare of soil surface, preferably between 50 g and 5 kg per ha.

The Examples which follow illustrate the preparation and use of the active substances according to the invention.

Preparatory Examples:Example 1

5



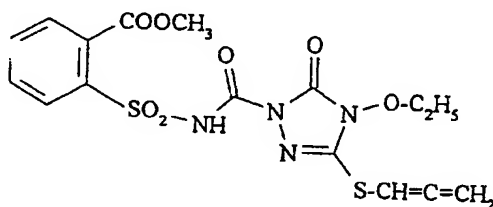
10 (Process (a))

A mixture of 1.9 g (10 mmol) of 4-ethoxy-5-ethylthio-2,4-dihydro-3H-1,2,4-triazol-3-one, 2.7 g (11 mmol) of 2-methoxycarbonyl-phenylsulphonyl isocyanate and 50 ml of acetonitrile is stirred for 16 hours at 20°C. After concentration under a water-jet vacuum, the residue is digested with diethyl ether and the crystalline product is isolated by suction filtration.

This gives 3.9 g (91% of theory) of 4-ethoxy-5-ethylthio-2-(2-methoxycarbonyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one melting at 162°C.

Example 2

25



(Isomerization)

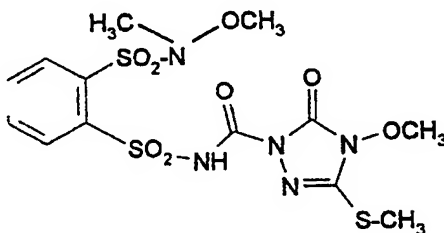
30

A mixture of 2.8 g (6 mmol) of 4-ethoxy-5-propargylthio-2-(2-methoxycarbonyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one (8), 50 ml of

methylene chloride, 50 ml of water, 0.3 g (7.5 mmol) of sodium hydroxide and 50 mg of tetrabutylammonium bromide is stirred for 16 hours at 20°C. It is then acidified with 2 N hydrochloric acid and the organic phase is separated off, dried over magnesium sulphate and filtered. The filtrate is concentrated, the residue is digested with diethyl ether and the crystalline product obtained is isolated by suction filtration.

This gives 2.0 g (71% of theory) of 4-ethoxy-5-(propa-1,2-dienylthio)-2-(2-methoxycarbonyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one melting at 144°C.

Example 3



(Process (d))

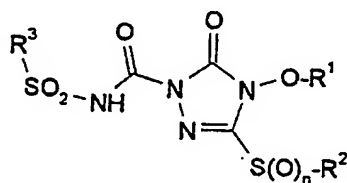
A mixture of 3.2 g (20 mmol) of 4-methoxy-5-methylthio-2,4-dihydro-3H-1,2,4-triazol-3-one, 7.6 g (24 mmol) of 2-(N-methoxy-N-methylaminosulphonyl)benzenesulphonyl chloride (95%), 2.6 g (40 mmol) of sodium cyanate, 1.2 g (15 mmol) of pyridine and 50 ml of acetonitrile is stirred for 2 days at 20°C. After concentration under a water-jet vacuum, the residue is taken up with methylene chloride/water and adjusted to pH 3 with 2 N hydrochloric acid. The organic phase is then separated off, dried over sodium sulphate and filtered. The filtrate is concentrated under a water-jet vacuum and the residue is crystallized by treatment with methanol.

This gives 5.7 g (61% of theory) of 4-methoxy-5-methylthio-2-[2-(N-methoxy-N-

methyl-aminosulphonyl)-phenylsulphonyl-aminocarbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-one melting at 185°C.

5 The compounds of the formula (I) listed in Table 1 below can also be prepared, for example, analogously to these Examples and in accordance with the general description of the preparatory processes according to the invention.

10



(I)

Table 1: Preparatory Examples of the compounds of the formula (I)

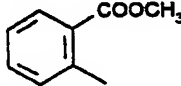
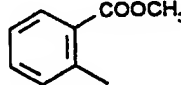
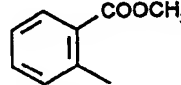
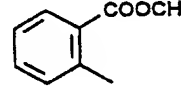
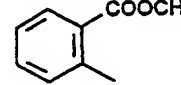
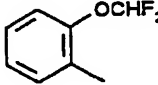
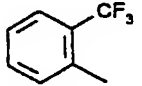
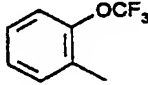
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-------------------------------|-------------------------------------|--|------------------|
| 4 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 179°C |
| 5 | 0 | C ₂ H ₅ | C ₃ H ₇ -n |  | m.p.: 150°C |
| 6 | 0 | C ₂ H ₅ | CH(CH ₃) ₂ |  | m.p.: 124°C |
| 7 | 0 | C ₂ H ₅ | CH ₂ -CH=CH ₂ |  | m.p.: 142°C |
| 8 | 0 | C ₂ H ₅ | CH ₂ -C≡CH |  | m.p.: 133°C |
| 9 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 163°C |
| 10 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 193°C |
| 11 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 165°C |

Table 1 (Continuation)

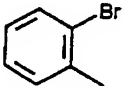
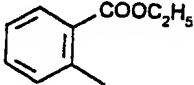
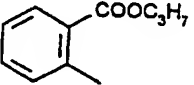
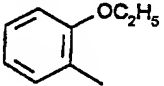
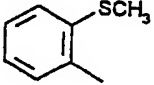
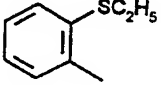
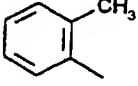
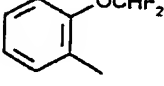
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-------------------------------|-------------------------------|--|------------------|
| 12 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 188°C |
| 13 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 156°C |
| 14 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 108°C |
| 15 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 140°C |
| 16 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 188°C |
| 17 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 147°C |
| 18 | 0 | C ₂ H ₅ | CH ₃ |  | m.p.: 134°C |
| 19 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 143°C |

Table 1 (Continuation)

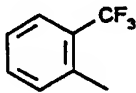
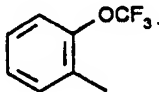
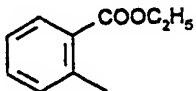
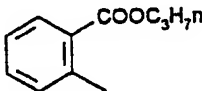
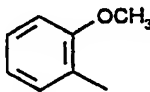
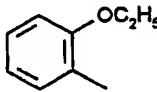
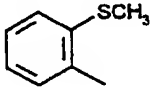
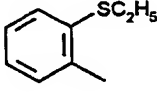
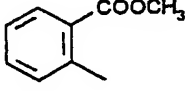
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|---------|---|-------------------------------|----------------------------------|--|---------------|
| 20 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 163°C |
| 21 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 141°C |
| 22 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 92°C |
| 23 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 95°C |
| 24 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 132°C |
| 25 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 138°C |
| 26 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 180°C |
| 27 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 143°C |
| 28 | 0 | CH ₃ | C ₃ H ₇ -n |  | m.p.: 129°C |

Table 1 (Continuation)

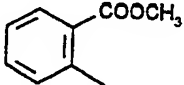
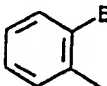
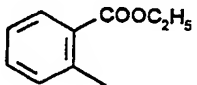
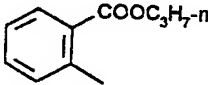
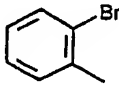
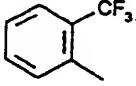
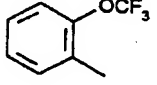
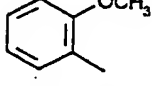
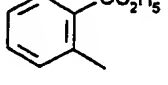
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-------------------------------|-------------------------------|--|------------------|
| 29 | 0 | CH ₃ | CH ₃ |  | m.p.: 159°C |
| 30 | 0 | C ₂ H ₅ | C ₂ H ₅ |  | m.p.: 157°C |
| 31 | 0 | CH ₃ | CH ₃ |  | m.p.: 178°C |
| 32 | 0 | CH ₃ | CH ₃ |  | m.p.: 148°C |
| 33 | 0 | CH ₃ | CH ₃ |  | m.p.: 183°C |
| 34 | 0 | CH ₃ | CH ₃ |  | m.p.: 185°C |
| 35 | 0 | CH ₃ | CH ₃ |  | m.p.: 160°C |
| 36 | 0 | CH ₃ | CH ₃ |  | m.p.: 149°C |
| 37 | 0 | CH ₃ | CH ₃ |  | m.p.: 175°C |

Table 1 (Continuation)

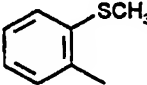
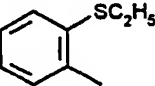
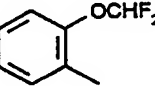
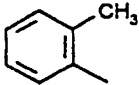
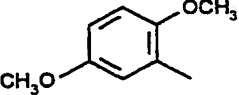
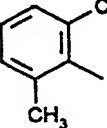
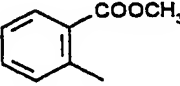
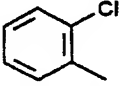
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|---------|---|-----------------|----------------------------------|--|---------------|
| 38 | 0 | CH ₃ | CH ₃ |  | m.p.: 192°C |
| 39 | 0 | CH ₃ | CH ₃ |  | m.p.: 144°C |
| 40 | 0 | CH ₃ | CH ₃ |  | m.p.: 159°C |
| 41 | 0 | CH ₃ | CH ₃ |  | m.p.: 130°C |
| 42 | 0 | CH ₃ | CH ₃ |  | m.p.: 151°C |
| 43 | 0 | CH ₃ | CH ₃ |  | m.p.: 168°C |
| 44 | 0 | CH ₃ | C ₃ H ₇ -i |  | m.p.: 156°C |
| 45 | 0 | CH ₃ | CH ₃ |  | m.p.: 170°C |

Table 1 (Continuation)

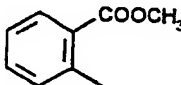
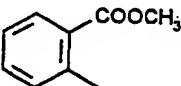
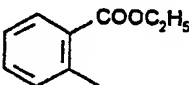
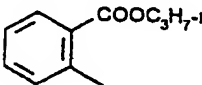
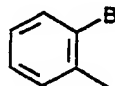
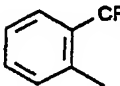
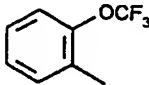
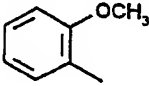
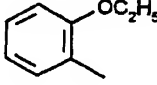
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-----------------|-------------------------------------|--|------------------|
| 46 | 0 | CH ₃ | CH ₂ -CH=CH ₂ |  | m.p.: 126°C |
| 47 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 151°C |
| 48 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 129°C |
| 49 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 105°C |
| 50 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 137°C |
| 51 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 150°C |
| 52 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 135°C |
| 53 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 158°C |
| 54 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 164°C |

Table 1 (Continuation)

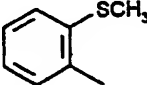
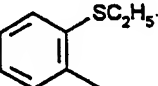
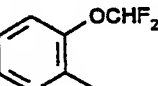
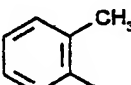
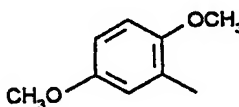
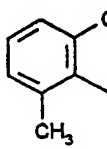
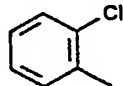
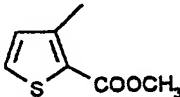
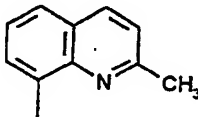
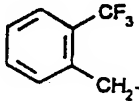
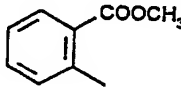
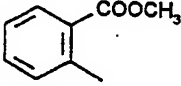

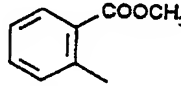
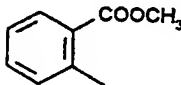
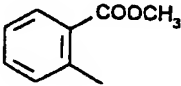
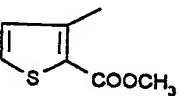
| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-----------------|-------------------------------|--|------------------|
| 55 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 172°C |
| 56 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 148°C |
| 57 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 127°C |
| 58 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 124°C |
| 59 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 161°C |
| 60 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 138°C |
| 61 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 124°C |
| 62 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 153°C |

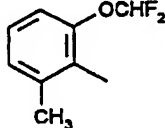
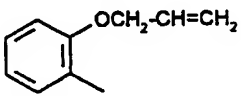
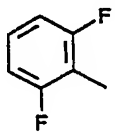
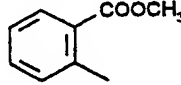
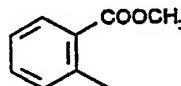
Table 1 (Continuation)

| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|---------|---|-----------------|---|--|---------------|
| 63 | 0 | CH ₃ | CH ₃ |  | m.p.: 146°C |
| 64 | 0 | CH ₃ | CH ₃ |  | m.p.: 156°C |
| 65 | 0 | CH ₃ | CH ₂ -C≡CH |  | m.p.: 110°C |
| 66 | 0 | CH ₃ | CH ₂ -CH ₂ -F |  | m.p.: 148°C |
| 67 | 0 | CH ₃ | CH ₂ -  |  | m.p.: 133°C |
| 68 | 0 | CH ₃ | CH ₂ -CH ₂ -Cl |  | m.p.: 100°C |
| 69 | 0 | CH ₃ | CH ₂ Cl |  | m.p.: 142°C |
| 70 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 153°C |

Le A 30 586

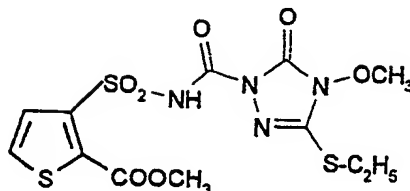
- 43 -

Table 1 (Continuation)

| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-----------------------------------|-------------------------------|--|------------------|
| 71 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 124°C |
| 72 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 136°C |
| 73 | 0 | CH ₃ | C ₂ H ₅ |  | m.p.: 149°C |
| 74 | 0 | -C(CH ₃) ₃ | CH ₃ |  | m.p.: 139°C |
| 75 | 0 | -C(CH ₃) ₃ | C ₂ H ₅ |  | m.p.: 133°C |

The compound listed in Table 1 as Example 62 can be prepared for example as follows:

5



(Process b)

10

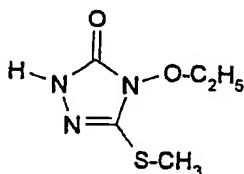
A mixture of 3.8 g (13 mmol) of 5-ethylthio-4-methoxy-2-phenoxy-carbonyl-2,4-dihydro-3H-1,2,4-triazol-3-one, 2.9 g (13.1 mmol) of 2-methoxycarbonyl-thiophene-3-sulphonamide, 2.0 g (13.2 mmol) of diazabicycloundecene (DBU) and 50 ml of acetonitrile is stirred for 3 days at 20°C. It is then poured into a mixture of methylene chloride and aqueous hydrochloric acid (ca. 10%) and stirred thoroughly. The organic phase is separated off, washed with water, dried and concentrated. The residue is crystallized from diethyl ether.

This gives 2.0 g (36.5% of theory) of 5-ethylthio-4-methoxy-2-(2-methoxycarbonylthiophen-3-yl-sulphonylamino-carbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one in the form of colourless crystals melting at 153°C.

20

Starting materials of the formula (II):

25 Example (II-1)



30

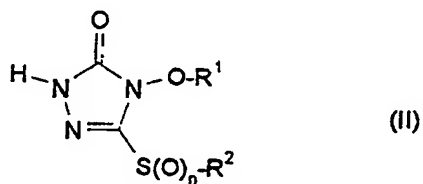
A mixture of 4.0 g (20 mmol) of the potassium salt of 4-ethoxy-5-mercapto-2,4-dihydro-3H-1,2,4-triazol-3-one, 4.3 g (30 mmol) of methyl iodide and 50 ml of

methanol is stirred for 16 hours at 20°C and then concentrated. The residue is shaken with methylene chloride/water and the organic phase is separated off, dried over magnesium sulphate and filtered. The filtrate is concentrated, the residue is digested with diethyl ether and the crystalline product obtained is isolated by suction filtration.

This gives 1.8 g (51% of theory) of 4-ethoxy-5-methylthio-2,4-dihydro-3H-1,2,4-triazol-3-one melting at 99°C.

- 10 The compounds of the formula (II) listed in Table 2 below can also be prepared, for example, analogously to Example (II-1).

Table 2: Examples of the compounds of the formula (II)



| Ex. no. | n | R¹ | R² | R³ | Physical data |
|------------|---|------|----|------------|------------------|
| II-2 | 0 | C₂H₅ | | C₂H₅ | m.p.: 83°C |
| II-3 | 0 | C₂H₅ | | C₃H₇-n | (amorphous) |
| II-4 | 0 | C₂H₅ | | C₃H₇-i | (amorphous) |
| II-5 | 0 | C₂H₅ | | CH₂-CH=CH₂ | m.p.: 30°C |
| II-6 | 0 | C₂H₅ | | CH₂-C≡CH | m.p.: 77°C |
| II-7 | 0 | CH₃ | | CH₃ | m.p.: 156°C |
| II-8 | 0 | CH₃ | | C₂H₅ | m.p.: 135°C |
| II-9 | 0 | CH₃ | | C₃H₇-n | m.p.: 90°C |
| II-10 | 0 | CH₃ | | C₃H₇-i | m.p.: 89°C |
| II-11 | 0 | CH₃ | | CH₂-CH=CH₂ | m.p.: 70°C |
| II-12 | 0 | CH₃ | | CH₂-C≡CH | m.p.: 134°C |
| II-13 | 0 | CH₃ | | CH₂-F | |

Table 2 (Continuation)






| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-------------------------------------|----------------|---|------------------|
| II-14 | 0 | CH ₃ | | CH ₂ -Cl | m.p.: 94°C |
| II-15 | 0 | CH ₃ | | CH ₂ -CH ₂ -F | m.p.: 96°C |
| II-16 | 0 | CH ₃ | | CH ₂ -CH ₂ -Cl | m.p.: 152°C |
| II-17 | 0 | CH ₃ | | CHF ₂ | |
| II-18 | 0 | CH ₃ | | CH ₂ -CHF ₂ | |
| II-19 | 0 | CH ₃ | | CH ₂ -CF ₃ | |
| II-20 | 0 | CH ₃ | | CH ₂ -CH ₂ -CF ₃ | |
| II-21 | 0 | C ₂ H ₅ | | CH ₂ -F | |
| II-22 | 0 | C ₂ H ₅ | | CH ₂ -Cl | |
| II-23 | 0 | C ₂ H ₅ | | CHF ₂ | |
| II-24 | 0 | C ₂ H ₅ | | CH ₂ -CH ₂ -F | |
| II-25 | 0 | C ₂ H ₅ | | CH ₂ -CH ₂ -Cl | |
| II-26 | 0 | C ₂ H ₅ | | CH ₂ -CHF ₂ | |
| II-27 | 0 | C ₂ H ₅ | | CH ₂ -CF ₃ | |
| II-28 | 0 | C ₃ H ₇ -n | | CH ₃ | |
| II-29 | 0 | C ₃ H ₇ -i | | CH ₃ | |
| II-30 | 0 | C ₄ H ₉ -n | | CH ₃ | |
| II-31 | 0 | CH ₂ -CH=CH ₂ | | CH ₃ | |

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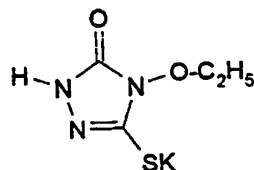
- 48 -

Table 2 (Continuation)

| Ex. no. | n | R ¹ | R ² | R ³ | Physical data |
|------------|---|-------------------------------------|----------------|---|------------------|
| II-32 | 0 | C ₃ H ₇ -n | | C ₂ H ₅ | |
| II-33 | 0 | C ₃ H ₇ -i | | C ₂ H ₅ | |
| II-34 | 0 | C ₄ H ₉ -n | | C ₂ H ₅ | |
| II-35 | 0 | CH ₂ -CH=CH ₂ | | C ₂ H ₅ | |
| II-36 | 1 | CH ₃ | | CH ₃ | |
| II-37 | 2 | CH ₃ | | CH ₃ | |
| II-38 | 1 | CH ₃ | | C ₂ H ₅ | |
| II-39 | 2 | CH ₃ | | C ₂ H ₅ | |
| II-40 | 1 | C ₂ H ₅ | | CH ₃ | |
| II-41 | 2 | C ₂ H ₅ | | C ₂ H ₅ | |
| II-42 | 0 | CH ₃ | | CH ₂ -  | m.p.: 108°C |
| II-43 | 0 | C ₂ H ₅ | | CH ₂ -  | |
| II-44 | 0 | C ₃ H ₇ -n | | CH ₂ -  | |
| II-45 | 0 | C ₃ H ₇ -i | | CH ₂ -  | |
| II-46 | 0 | CH ₂ -CH=CH ₂ | | CH ₂ -  | |

Starting materials of the formula (IX):Example (IX-1)

5

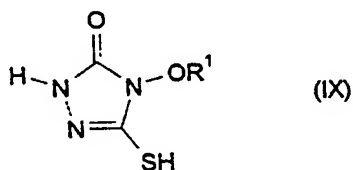


10 A mixture of 25.5 g (100 mmol) of 4-ethoxy-1-(phenoxythiocarbonyl)-semicarbazide, 6.9 g (50 mmol) of potassium carbonate and 150 ml of methanol is refluxed for ca. 10 minutes. It is then concentrated, the residue is digested with isopropanol and the crystalline product is isolated by suction filtration.

15 This gives 21 g (100% of theory) of the potassium salt of 4-ethoxy-5-mercapto-2,4-dihydro-3H-1,2,4-triazol-3-one melting at 123°C.

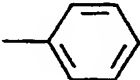
The compounds of the formula (IX) listed in Table 3 below can also be prepared, for example, analogously to Example (IX-1):

20



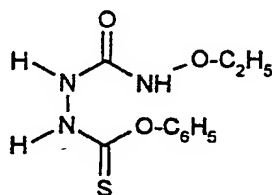
25

Table 3: Examples of the compounds of the formula (IX)

| Ex. no. | R ¹ | Physical data |
|---------|--|---------------|
| 5 | | |
| IX-2 | CH ₃ (potassium salt) | m.p.: 221°C |
| IX-3 | C ₃ H ₇ -n (potassium salt) | |
| IX-4 | C ₃ H ₇ -i (potassium salt) | |
| IX-5 | CH ₂ -CH=CH ₂ (potassium salt) | |
| 10 IX-6 | C ₄ H ₉ -n (potassium salt) | |
| IX-7 | C ₄ H ₉ -i (potassium salt) | |
| IX-8 | C ₄ H ₉ -s (potassium salt) | |
| IX-9 |  (potassium salt) | |

15 Starting materials of the formula (XI):Example (XI-1)

20



25 70 g (0.4 mol) of phenyl chloro-thioformate are added dropwise at 0°C to 15°C to a mixture of 47.6 g (0.4 mol) of 4-ethoxy-semicarbazide, 41 g (0.4 mol) of triethylamine and 400 ml of methylene chloride and the mixture is then stirred for a further 16 hours at 15°C to 20°C. The crystalline product obtained is then isolated by suction filtration.

30 This gives 85.7 g (84% of theory) of 4-ethoxy-1-(phenoxycarbonyl)-semicarbazide melting at 153°C.

The compounds of the formula (XI) listed in Table 4 below can also be prepared, for example, analogously to Example (XI-1):

5

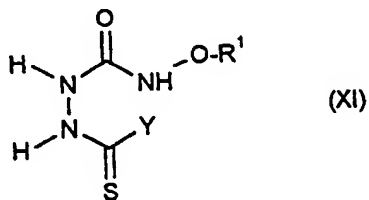


Table 4: Examples of the compounds of the formula (XI)

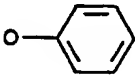
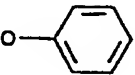
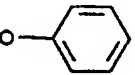
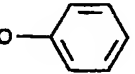
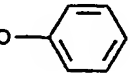
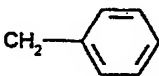
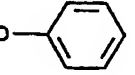


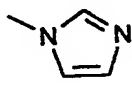
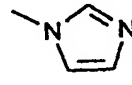
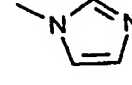
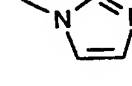
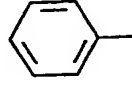
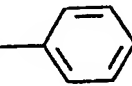
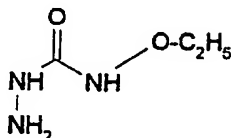
| Ex. no. | R ^I | Y | Physical data |
|------------|---|--|------------------|
| XI-2 | CH ₃ |  | m.p.: 172°C |
| XI-3 | C ₃ H ₇ -n |  | |
| XI-4 | C ₃ H ₇ -i |  | |
| XI-5 | C ₄ H ₉ -n |  | |
| XI-6 | CH ₂ -CH=CH ₂ |  | |
| XI-7 |  |  | |
| XI-8 | CH ₃ | Cl | |
| XI-9 | C ₂ H ₅ | Cl | |
| XI-10 | C ₃ H ₇ -n | Cl | |
| XI-11 | C ₃ H ₇ -i | Cl | |
| XI-12 | C ₄ H ₉ -n | Cl | |
| XI-13 | CH ₂ -CH=CH ₂ | Cl | |

Table 4 (Continuation)

| Ex. no. | R ¹ | Y | Physical data |
|------------|---|---|------------------|
| XI-14 | CH ₃ | O-CH ₂ -  | |
| XI-15 | C ₂ H ₅ | O-CH ₂ -  | |
| XI-16 | CH ₃ | OCH ₃ | |
| XI-17 | C ₂ H ₅ | OCH ₃ | |
| XI-18 | CH ₃ | OC ₂ H ₅ | |
| XI-19 | C ₂ H ₅ | OC ₂ H ₅ | |
| XI-20 | CH ₃ |  | |
| XI-21 | C ₂ H ₅ |  | |
| XI-22 | C ₃ H _{7-n} |  | |
| XI-23 | CH ₂ -CH=CH ₂ |  | |
| XI-24 |  | O-CH ₂ -  | |

Starting materials of the formula (XII):Example (XII-1)

5



10 31 g (0.2 mol) of phenyl chloroformate are added dropwise at 0°C, with stirring, to a mixture of 24.4 g (0.2 mol) of ethoxyamine (50% aqueous solution), 100 ml of diethyl ether, 28 g (0.2 mol) of potassium carbonate and 10 ml of water. When the evolution of gas has ceased, the organic phase is separated off, the aqueous phase is re-extracted with ethyl acetate and the combined organic phases are dried over magnesium sulphate and filtered. The filtrate is concentrated, the residue is taken up with 50 ml of ethanol, and 10 g (0.2 mol) of hydrazine hydrate are added. After refluxing for one hour, the reaction mixture is cooled and filtered. The filtrate is concentrated, the residue is digested with diisopropyl ether and the crystalline product obtained is isolated by suction filtration.

20 This gives 23.4 g (98% of theory) of 4-ethoxy-semicarbazide melting at 83°C.

The compounds of the formula (XII) listed in Table 5 below can also be prepared, for example, analogously to Example (XII-1):

25

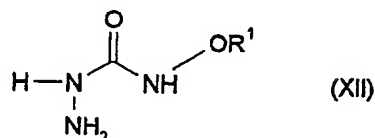
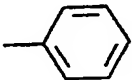
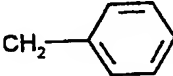
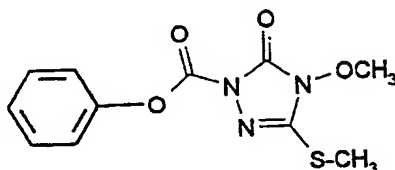


Table 5: Examples of the compounds of the formula (XII)

| Ex. no. | R ^I | Physical data |
|---------|---|---------------|
| XII-2 | CH ₃ | m.p.: 73°C |
| XII-3 | C ₃ H _{7-n} | |
| XII-4 | C ₃ H _{7-i} | |
| XII-5 | C ₄ H _{9-n} | |
| XII-6 | CH ₂ -CH=CH ₂ | |
| XII-7 |  | |
| XII-8 |  | |

Starting materials of the formula IV:Example (IV-1):

5



- 10 17.2 g (0.11 mol) of phenyl chloroformate are added dropwise at 20°C to a thoroughly stirred mixture of 16.2 g (0.1 mol) of 4-methoxy-5-methylthio-2,4-dihydro-3H-1,2,4-triazol-3-one, 4.4 g (0.11 mol) of sodium hydroxide, 100 mg of tetrabutylammonium bromide, 250 ml of water and 500 ml of methylene chloride and the reaction mixture is subsequently stirred for a further 16 hours. The organic
- 15 phase is separated off, washed with water, dried and concentrated. The oily residue is crystallized from ether.

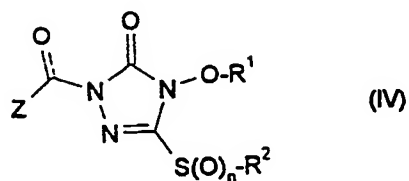
2201596

Le A 30 586

- 56 -

This gives 24.0 g (85% of theory) of 4-methoxy-5-methylthio-2-phenoxy-carbonyl-2,4-dihydro-3H-1,2,4-triazol-3-one in the form of colourless crystals melting at 113°C.

- 5 The compounds of the formula (IV) listed in Table 6 below can also be prepared, for example, analogously to Example (IV-1).

Table 6: Examples of the compounds of the formula (IV) where $n = 0$ 

| Ex no. | R¹ | R² | Z | Physical data |
|--------|-----|------------|---|---------------|
| IV-2 | CH₃ | C₂H₅ | | |
| IV-3 | CH₃ | C₃H₇-n | | |
| IV-4 | CH₃ | C₃H₇-i | | |
| IV-5 | CH₃ | CH₂-CH=CH₂ | | |
| IV-6 | CH₃ | CH₂-C≡CH | | |
| IV-7 | CH₃ | CH₂-F | | |
| IV-8 | CH₃ | CH₂-Cl | | |

Table 6 (Continuation)

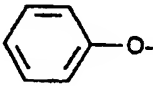
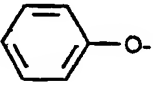
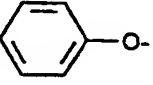
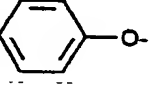
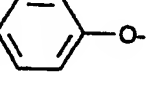
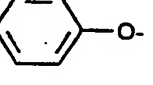
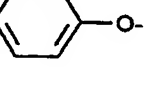

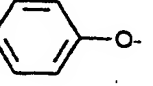

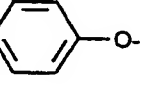
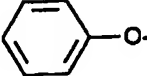
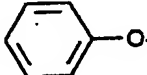
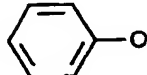
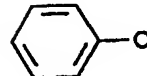
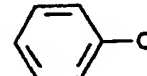
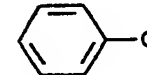



| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|-----------------|---|---|---------------|
| IV-9 | CH ₃ | CHF ₂ |  | |
| IV-10 | CH ₃ | CH ₂ -CH ₂ -F |  | |
| IV-11 | CH ₃ | CH ₂ -CH ₂ -Cl |  | |
| IV-12 | CH ₃ | CH ₂ -CHF ₂ |  | |
| IV-13 | CH ₃ | CH ₂ -CF ₃ |  | |
| IV-14 | CH ₃ | CH ₂ -CH ₂ -CF ₃ |  | |
| IV-15 | CH ₃ | CH=C=CH ₂ |  | |
| IV-16 | CH ₃ | CH ₂ -  |  | |
| IV-17 | CH ₃ | CH ₂ -  |  | |

Table 6 (Continuation)

| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|-------------------------------|-------------------------------------|---|---------------|
| IV-18 | CH ₃ | C ₄ H ₉ -n |  | |
| IV-19 | C ₂ H ₅ | C ₂ H ₅ |  | |
| IV-20 | C ₂ H ₅ | C ₃ H ₇ -n |  | |
| IV-21 | C ₂ H ₅ | C ₃ H ₇ -i |  | |
| IV-22 | C ₂ H ₅ | CH ₂ -CH=CH ₂ |  | |
| IV-23 | C ₂ H ₅ | CH ₂ -C≡CH |  | |
| IV-24 | C ₂ H ₅ | CH ₂ -F |  | |
| IV-25 | C ₂ H ₅ | CH ₂ -Cl |  | |
| IV-26 | C ₂ H ₅ | CHF ₂ |  | |

2201596

Le A 30 586

- 60 -

Table 6 (Continuation)

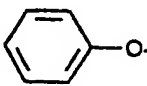
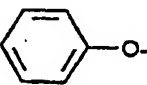
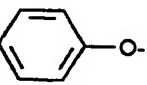
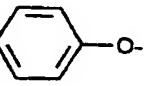
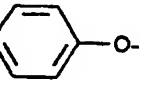
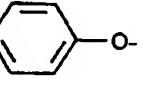

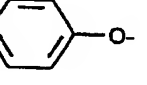
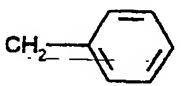
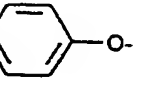
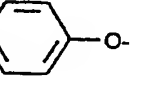
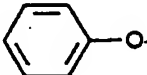
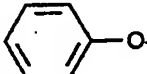
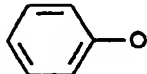
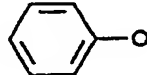
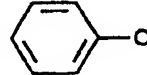
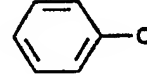
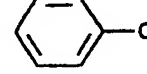
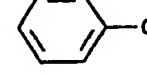
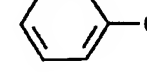
| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|-------------------------------|---|---|---------------|
| IV-27 | C ₂ H ₅ | CH ₂ -CH ₂ -F |  | |
| IV-28 | C ₂ H ₅ | CH ₂ -CH ₂ -Cl |  | |
| IV-29 | C ₂ H ₅ | CH ₂ -CHF ₂ |  | |
| IV-30 | C ₂ H ₅ | CH ₂ -CF ₃ |  | |
| IV-31 | C ₂ H ₅ | CH ₂ -CH ₂ -CF ₃ |  | |
| IV-32 | C ₂ H ₅ | CH=C=CH ₂ |  | |
| IV-33 | C ₂ H ₅ | CH ₂ -  |  | |
| IV-34 | C ₂ H ₅ | CH ₂ -  |  | |
| IV-35 | C ₂ H ₅ | C ₄ H ₉ -n |  | |

Table 6 (Continuation)

| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|---------------------------------|-------------------------------------|---|---------------|
| IV-36 | C ₂ H ₅ | C ₂ H ₅ |  | |
| IV-37 | C ₃ H _{7-n} | C ₃ H _{7-n} |  | |
| IV-38 | C ₃ H _{7-n} | C ₃ H _{7-i} |  | |
| IV-39 | C ₃ H _{7-n} | CH ₂ -CH=CH ₂ |  | |
| IV-40 | C ₃ H _{7-n} | CH ₂ -C≡CH |  | |
| IV-41 | C ₃ H _{7-n} | CH ₂ -F |  | |
| IV-42 | C ₃ H _{7-n} | CH ₂ -Cl |  | |
| IV-43 | C ₃ H _{7-n} | CHF ₂ |  | |
| IV-44 | C ₃ H _{7-n} | CH ₂ -CH ₂ -F |  | |

22J1596

Le A 30 586

- 62 -

Table 6 (Continuation)

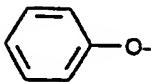
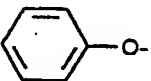
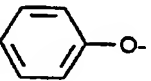
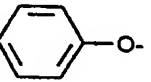
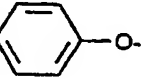

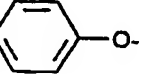

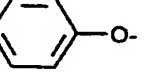
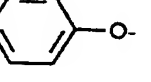
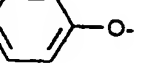
| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|----------------------------------|---|---|---------------|
| IV-45 | C ₃ H ₇ -n | CH ₂ -CH ₂ -Cl |  | |
| IV-46 | C ₃ H ₇ -n | CH ₂ -CHF ₂ |  | |
| IV-47 | C ₃ H ₇ -n | CH ₂ -CF ₃ |  | |
| IV-48 | C ₃ H ₇ -n | CH ₂ -CH ₂ -CF ₃ |  | |
| IV-49 | C ₃ H ₇ -n | CH=C=CH ₂ |  | |
| IV-50 | C ₃ H ₇ -n | CH ₂ -  |  | |
| IV-51 | C ₃ H ₇ -n | CH ₂ -  |  | |
| IV-52 | C ₃ H ₇ -n | C ₄ H ₉ -n |  | |
| IV-53 | C ₃ H ₇ -n | C ₂ H ₅ |  | |

Table 6 (Continuation)

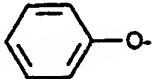
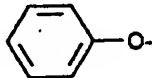
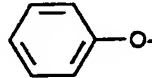
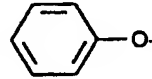
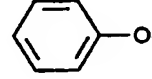
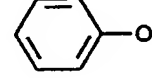
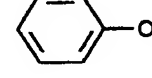
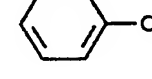
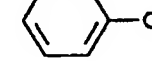
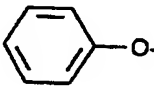
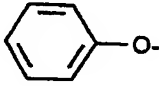
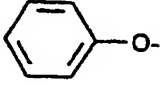
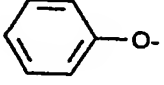

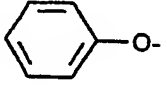

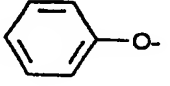
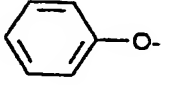
| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|-------------------------------------|--------------------------------------|---|---------------|
| IV-54 | C ₃ H ₇ -n | C ₃ H ₇ -n |  | |
| IV-55 | CH ₂ -CH=CH ₂ | C ₃ H ₇ -i |  | |
| IV-56 | CH ₂ -CH=CH ₂ | CH ₂ -CH=CH ₂ |  | |
| IV-57 | CH ₂ -CH=CH ₂ | CH ₂ -C≡CH |  | |
| IV-58 | CH ₂ -CH=CH ₂ | CH ₂ -F |  | |
| IV-59 | CH ₂ -CH=CH ₂ | CH ₂ -Cl |  | |
| IV-60 | CH ₂ -CH=CH ₂ | CHF ₂ |  | |
| IV-61 | CH ₂ -CH=CH ₂ | CH ₂ -CH ₂ -F |  | |
| IV-62 | CH ₂ -CH=CH ₂ | CH ₂ -CH ₂ -Cl |  | |

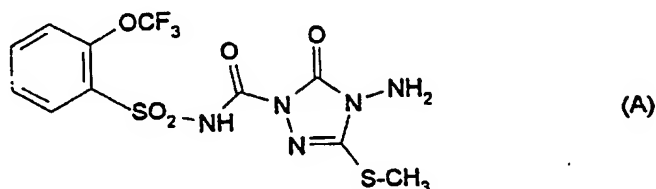
Table 6 (Continuation)

| Ex no. | R ¹ | R ² | Z | Physical data |
|--------|-------------------------------------|---|---|---------------|
| IV-63 | CH ₂ -CH=CH ₂ | CH ₂ -CHF ₂ |  | |
| IV-64 | CH ₂ -CH=CH ₂ | CH ₂ -CF ₃ |  | |
| IV-65 | CH ₂ -CH=CH ₂ | CH ₂ -CH ₂ -CF ₃ |  | |
| IV-66 | CH ₂ -CH=CH ₂ | CH=C=CH ₂ |  | |
| IV-67 | CH ₂ -CH=CH ₂ | CH ₂ -  |  | |
| IV-68 | CH ₂ -CH=CH ₂ | CH ₂ -  |  | |
| IV-69 | CH ₂ -CH=CH ₂ | C ₄ H ₉ -n |  | |

Application Examples:

The following compound:

5



10

i.e. 4-amino-5-methylthio-2-(2-(trifluoromethoxy-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one (known from EP-A 431291/LeA 27156), is used for comparison in the Application Examples below.

15 Example A

Post-emergence test

Solvent: 5 parts by weight of acetone

20 Emulsifier: 1 part by weight of alkylaryl polyglycol ether

An appropriate active substance formulation is prepared by mixing 1 part by weight of active substance with the indicated amount of solvent, adding the indicated amount of emulsifier and diluting the concentrate to the desired concentration with

25

water.

The active substance formulation is sprayed onto test plants with a height of 5 - 15 cm so that the appropriate desired amounts of active substance are distributed per unit area. The concentration of the spraying mixture is chosen so that the

30 appropriate desired amounts of active substance are distributed in 2000 l of water/ha. After three weeks the degree of damage to the plants is evaluated in % damage compared with the development of the untreated control.

0% = no action (as untreated control)

100% = total destruction

5 In this test the compounds of Preparatory Examples 1, 2, 4, 5, 6, 7 and 8, for example, all exhibit very good compatibility with crop plants, e.g. wheat, but an appreciably more potent action on weeds than the known compound (A). The same also applies to the compounds of Preparatory Examples 22, 29, 31 and 48 (see Table A).

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Table A: Post-emergence test/greenhouse

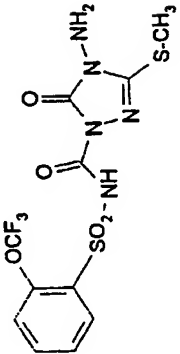
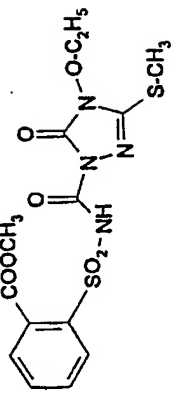
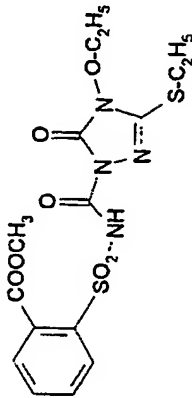
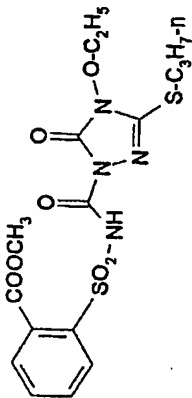
| Active substance | Amount applied (g/ha) | Wheat | Ama- ranthus | Cheno- podium | Helio- anthus | Matri- caria | Sola- num | Xan- thium |
|--|--------------------------|-------|-----------------|------------------|------------------|-----------------|--------------|---------------|
|  (A) (known) | 125 | 0 | 0 | 0 | 0 | 0 | 0 | 0 |
|  (4) | 125 | 0 | 95 | 95 | 100 | 95 | 90 | 95 |

Table A (Continuation)

| Active substance | Amount applied (g/ha) | Wheat | Ama- ranthus | Cheno- podium | Heli- anthus | Matri- caria | Sola- num | Xan- thium |
|---|--------------------------|-------|-----------------|------------------|-----------------|-----------------|--------------|---------------|
|  (1) | 125 | 10 | 95 | 95 | 100 | 95 | 95 | 95 |
|  (5) | 125 | 5 | 95 | 95 | 100 | 90 | 50 | 95 |

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- 69 -

Table A (Continuation)

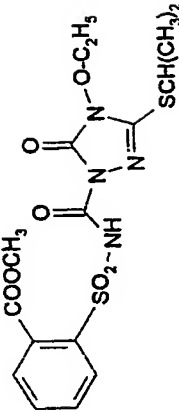
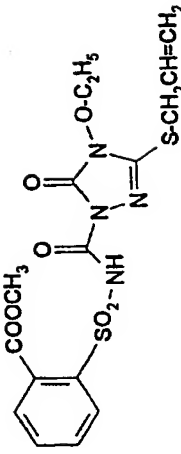
| Active substance | Amount applied (g/ha) | Wheat | Ama- ranthus | Cheno- podium | Heli- anthus | Matri- caria | Sola- num | Xan- thium |
|---|--------------------------|-------|-----------------|------------------|-----------------|-----------------|--------------|---------------|
|  (6) | 125 | 5 | 80 | 95 | 100 | 80 | 90 | 80 |
|  (7) | 125 | 0 | 80 | 80 | 100 | 40 | 50 | - |

Table A (Continuation)

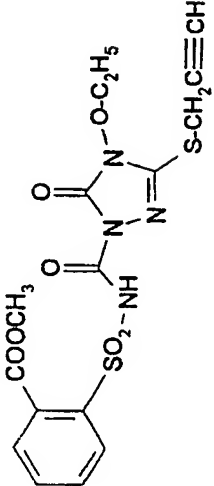
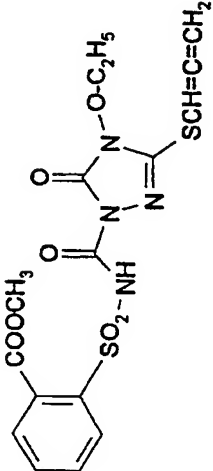
| Active substance | Amount applied (g/ha) | Wheat | Ama- ranthus | Cheno- podium | Hel- anthus | Matri- caria | Sola- num | Xan- thium |
|---|--------------------------|-------|-----------------|------------------|----------------|-----------------|--------------|---------------|
|  (8) | 125 | 5 | 70 | 90 | 100 | 40 | 90 | 90 |
|  (2) | 125 | 0 | 70 | 60 | 70 | 70 | 50 | 80 |

Table A (Continuation)

| Active substance | (of Preparatory Example no.) | Amount applied (g/ha) | Wheat | Ama- ranthus | Cheno- podium | Heli- anthus | Matri- caria | Sola- num | Xan- thium |
|------------------|---------------------------------|--------------------------|-------|-----------------|------------------|-----------------|-----------------|--------------|---------------|
| (22) | | 60 | 10 | 95 | 80 | 100 | 90 | 90 | 100 |
| (29) | | 60 | 10 | 80 | 90 | 90 | 80 | 95 | . |
| (31) | | 60 | 5 | 80 | 80 | 70 | - | 95 | . |
| (48) | | 125 | 10 | 80 | 80 | 100 | 90 | 80 | 100 |

Example B

Pre-emergence test

- 5 Solvent: 5 parts by weight of acetone
 Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 An appropriate active substance formulation is prepared by mixing 1 part by weight of active substance with the indicated amount of solvent, adding the indicated amount of emulsifier and diluting the concentrate to the desired concentration with water.

15 Seeds of the test plants are sown in normal soil. After 24 hours the soil is watered with the active substance formulation, the amount of water per unit area advantageously being kept constant. The active substance concentration in the formulation plays no part, the only decisive factor being the amount of active substance applied per unit area. After three weeks the degree of damage to the plants is evaluated in % damage compared with the development of the untreated control.

20

0% = no action (as untreated control)

100% = total destruction

25 In this test the compounds of Preparatory Examples 1, 4, 5, 6, 7 and 8, for example, exhibit an appreciably more potent action on weeds than the known compound (A). The same also applies to the compounds of Preparatory Examples 11, 12, 22, 29, 31, 33, 34, 35 and 47 (see Table B).

Table B: Pre-emergence test/greenhouse

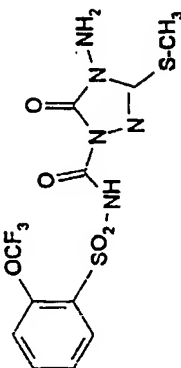
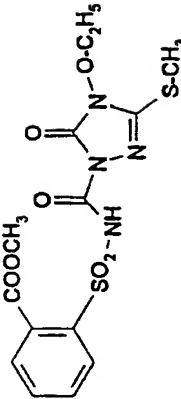
| Active substance | Amount applied (g/ha) | Galium | Galin- soga | Matri- caria | Sinapis | Sola- num | Stel- laria |
|--|--------------------------|--------|----------------|-----------------|---------|--------------|----------------|
|  (A) (known) | 250 | 0 | 0 | 0 | 30 | 20 | 0 |
|  (4) | 125 | 90 | 95 | 95 | 90 | 95 | 90 |

Table B (Continuation)

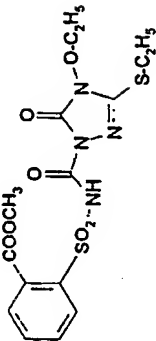
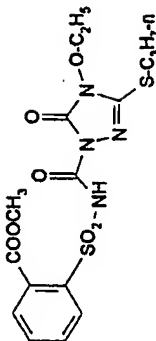
| Active substance | Amount applied (g/ha) | Galium soga | Matri- caria | Sinapis | Sola- num | Stel- laria |
|--|--------------------------|----------------|-----------------|---------|--------------|----------------|
|  (1) | 125 | 80 | 95 | 95 | 90 | 95 |
|  (5) | 125 | 90 | 95 | 90 | 80 | 90 |

Table B (Continuation)

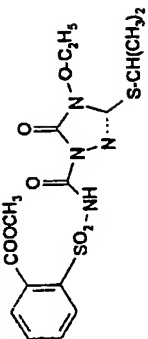
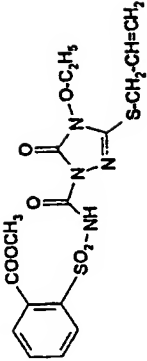
| Active substance | Amount applied (g/ha) | Galium | Galin- soga | Matri- caria | Sinapis | Sola- num | Stel- laria |
|--|--------------------------|--------|----------------|-----------------|---------|--------------|----------------|
|  (6) | 125 | 70 | 95 | 90 | 90 | 80 | 90 |
|  (7) | 125 | 70 | 95 | 95 | 80 | 70 | 80 |

Table B (Continuation)

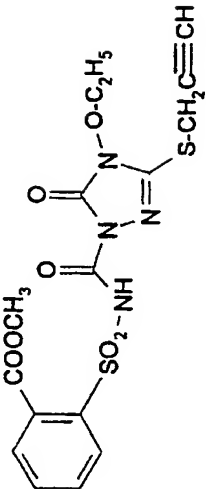
| Active substance | Amount applied (g/ha) | Galium | Galinsoga | Matri- caria | Sinapis | Sola- num | Stel- laria |
|--|--------------------------|--------|-----------|-----------------|---------|--------------|----------------|
|  (8) | 125 | 50 | 90 | 80 | 60 | 80 | 60 |

Table B (Continuation)

| Active substance (of Preparatory Example no.) | Amount applied (g/ha) | Galium | Galinsoga | Matri-caria | Sinapis | Solanum | Stellaria |
|---|-----------------------|--------|-----------|-------------|---------|---------|-----------|
| (11) | 125 | - | 95 | 95 | 95 | 95 | 95 |
| (12) | 125 | - | 95 | 95 | 90 | 95 | - |
| (22) | 250 | 95 | 95 | 95 | 70 | 95 | 95 |
| (29) | 125 | - | 95 | 95 | 95 | 95 | 95 |
| (31) | 125 | - | 100 | 100 | 95 | 95 | 70 |
| (33) | 250 | - | 80 | 90 | 95 | 80 | - |
| (34) | 125 | - | 100 | 95 | 95 | 95 | 90 |
| (35) | 125 | - | 95 | 95 | 95 | 95 | 95 |
| (47) | 125 | - | 95 | 95 | 90 | 95 | 95 |

Example C

Venturia test (apple)/protective

- 5 Solvent: 4.7 parts by weight of acetone
 Emulsifier: 0.3 part by weight of alkylaryl polyglycol ether

10 An appropriate active substance formulation is prepared by mixing 1 part by weight of active substance with the indicated amounts of solvent and emulsifier and diluting the concentrate to the desired concentration with water.

15 To test for protective efficacy, young plants are sprayed with the active substance formulation until they are dripping wet. After the sprayed surface has dried, the plants are inoculated with an aqueous conidia suspension of the apple scab pathogen *Venturia inaequalis* and are then left to stand for 1 day at 20°C and 100% relative humidity in an incubation chamber.

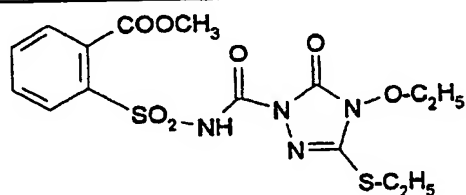
20 The plants are then placed in the greenhouse at 20°C and a relative humidity of ca. 70%.

 They are evaluated 12 days after inoculation.

25 In this test the compounds of Preparatory Examples 1, 4, 5 and 6, for example, exhibit 100% efficacy at an active substance concentration of 10 ppm.

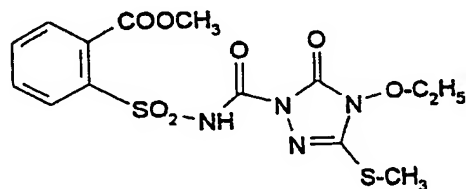
Table C: Venturia test (apple)/protective

| 5 | Active substance | Efficacy in % of the untreated control at an active substance concentration of 10 ppm] |
|---|------------------|---|
|---|------------------|---|



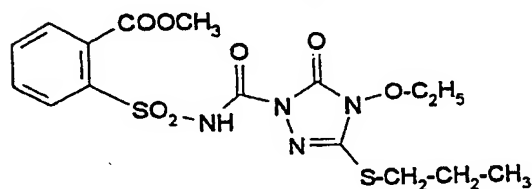
(1)

100



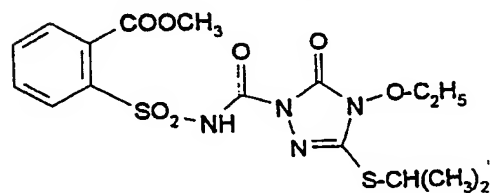
(4)

100



(5)

100



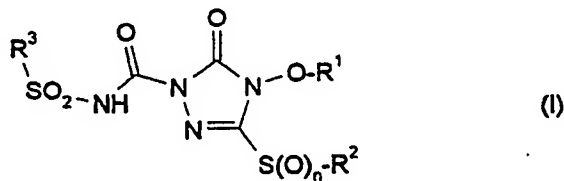
(6)

100

Patent claims

1. Sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur of the general formula (I):

5



10 in which

n is the number 0, 1 or 2,

15 R¹ is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl,

R² is an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl and aryl, and

20 R³ is an optionally substituted radical from the group comprising alkyl, aralkyl, aryl and heteroaryl,

and salts of compounds of the formula (I),

25 the following compounds being excluded: 4-methoxy-5-methylthio-2-(2-methoxycarbonyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-ethoxy-5-ethylthio-2-[2-(N-methoxy)-methylaminosulphonyl-phenylsulphonyl-aminocarbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-propoxy-5-allylthio-2-(2-methyl-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-methoxy-5-methylthio-2-(2-methoxycarbonyl-thien-3-yl-sulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-ethoxy-5-methylthio-2-(2-methoxy-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-

30

ethoxy-5-ethylthio-2-[2-(2-chloro-ethoxy)-phenylsulphonyl-aminocarbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-allyloxy-5-ethylthio-2-(2-fluoro-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one, 4-methoxy-5-ethylthio-2-(3-aminosulphonyl-pyridin-2-yl-sulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one and 4-methoxy-5-ethylthio-2-(2,6-difluoro-phenylsulphonyl-aminocarbonyl)-2,4-dihydro-3H-1,2,4-triazol-3-one.

2. Compounds of the formula (I) according to Claim 1, characterized in that

10 n is the number 0, 1 or 2,

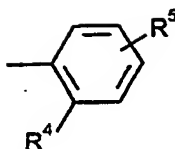
R¹ is hydrogen, C₁-C₆-alkyl optionally substituted by fluorine, chlorine, bromine, cyano, C₁-C₄-alkoxy, C₁-C₄-alkyl-carbonyl or C₁-C₄-alkoxy-carbonyl, C₂-C₆-alkenyl or C₂-C₆-alkinyl, each of which is optionally substituted by fluorine, chlorine and/or bromine, C₃-C₆-cycloalkyl or C₅-C₆-cycloalkenyl, each of which is optionally substituted by fluorine, chlorine, bromine and/or C₁-C₄-alkyl, or phenyl or phenyl-C₁-C₃-alkyl, each of which is optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy and/or C₁-C₄-alkoxy-carbonyl,

20

R² is C₁-C₆-alkyl optionally substituted by fluorine, chlorine, bromine, cyano, C₃-C₆-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio or C₁-C₄-alkoxy-carbonyl, C₂-C₆-alkenyl or C₂-C₆-alkinyl, each of which is optionally substituted by fluorine, chlorine and/or bromine, C₃-C₆-cycloalkyl, C₅-C₆-cycloalkenyl or C₃-C₆-cycloalkyl-C₁-C₃-alkyl, each of which is optionally substituted by fluorine, chlorine, bromine and/or C₁-C₄-alkyl, phenyl-C₁-C₃-alkyl optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy and/or C₁-C₄-alkoxy-carbonyl, or phenyl optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy, fluorine- and/or chlorine-substituted C₁-C₃-alkoxy, C₁-C₄-alkylthio, fluorine- and/or chlorine-substituted C₁-C₃-alkylthio, C₁-C₄-alkyl-sulphinyl, C₁-C₄-alkylsulphonyl and/or C₁-C₄-alkoxy-carbonyl, and

30

R³ is the grouping



wherein

R⁴ and R⁵ are identical or different and are hydrogen, fluorine, chlorine, bromine, iodine, nitro, C₁-C₆-alkyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkylamino-carbonyl, di-(C₁-C₄-alkyl)amino-carbonyl, hydroxyl, C₁-C₄-alkoxy, formyloxy, C₁-C₄-alkyl-carbonyloxy, C₁-C₄-alkoxy-carbonyloxy, C₁-C₄-alkylamino-carbonyloxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl, C₁-C₄-alkylsulphonyl, di-(C₁-C₄-alkyl)-aminosulphonyl, C₃-C₆-cycloalkyl or phenyl), C₂-C₆-alkenyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, C₁-C₄-alkoxy-carbonyl, carboxyl or phenyl), C₂-C₆-alkynyl (which is optionally substituted by fluorine, chlorine, bromine, cyano, C₁-C₄-alkoxy-carbonyl, carboxyl or phenyl), C₁-C₄-alkoxy (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl), C₁-C₄-alkylthio (which is optionally substituted by fluorine, chlorine, bromine, cyano, carboxyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl), C₂-C₆-alkenyloxy (which is optionally substituted by fluorine, chlorine, bromine, cyano or C₁-C₄-alkoxy-carbonyl), C₂-C₆-alkenylthio (which is optionally substituted by fluorine, chlorine, bromine, cyano, nitro, C₁-C₃-alkylthio or C₁-C₄-alkoxycarbonyl), C₃-C₆-alkinyloxy, C₃-C₆-alkynylthio or the radical -S(O)_p-R⁶, in which

p is the number 1 or 2 and

R⁶ is C₁-C₄-alkyl (which is optionally substituted by fluorine,

chlorine, bromine, cyano or C₁-C₄-alkoxy-carbonyl), C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₁-C₄-alkylamino, C₁-C₄-alkylamino, di-(C₁-C₄-alkyl)-amino, phenyl or the radical -NHOR⁷, in which

5

10

15

20

R⁷ is C₁-C₁₂-alkyl (which is optionally substituted by fluorine, chlorine, cyano, C₁-C₄-alkoxy, C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl, C₁-C₄-alkylsulphonyl, C₁-C₄-alkyl-carbonyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-alkylamino-carbonyl or di-(C₁-C₄-alkyl)-amino-carbonyl), C₃-C₆-alkenyl (which is optionally substituted by fluorine, chlorine or bromine), C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₂-alkyl, phenyl-C₁-C₂-alkyl (which is optionally substituted by fluorine, chlorine, nitro, cyano, C₁-C₄-alkyl, C₁-C₄-alkoxy or C₁-C₄-alkoxy-carbonyl), benzhydryl or phenyl (which is optionally substituted by fluorine, chlorine, nitro, cyano, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy, C₁-C₂-fluoroalkoxy, C₁-C₄-alkylthio, trifluoromethylthio or C₁-C₄-alkoxy-carbonyl),

R⁴ and/or R⁵ are also phenyl or phenoxy, C₁-C₄-alkyl-carbonylamino, C₁-C₄-alkoxy-carbonylamino, C₁-C₄-alkylamino-carbonyl-amino, di-(C₁-C₄-alkyl)-amino-carbonylamino or the radical -CO-R⁸, in which

25

30

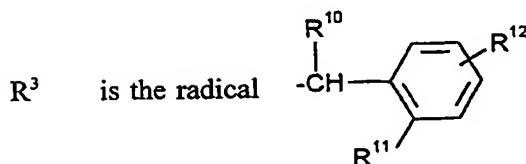
R⁸ is hydrogen, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₃-C₆-cycloalkoxy, C₃-C₆-alkenyloxy, C₁-C₄-alkylthio, C₁-C₄-alkylamino, C₁-C₄-alkoxyamino, C₁-C₄-alkoxy-C₁-C₄-alkylamino or di-(C₁-C₄-alkyl)-amino (which are optionally substituted by fluorine and/or chlorine), or

R⁴ and/or R⁵ are also trimethylsilyl, thiazolinyl, C₁-C₄-alkylsulphonyloxy, di-(C₁-C₄-alkyl)-aminosulphonylamino or the radical -CH=N-R⁹, in which

5 R^9 is C_1-C_6 -alkyl optionally substituted by fluorine, chlorine, cyano, carboxyl, C_1-C_4 -alkoxy, C_1-C_4 -alkylthio, C_1-C_4 -alkylsulphanyl or C_1-C_4 -alkylsulphonyl, benzyl optionally substituted by fluorine or chlorine, C_3-C_6 -alkenyl or C_3-C_6 -alkynyl, each of which is optionally substituted by fluorine or chlorine, phenyl optionally substituted by fluorine, chlorine, bromine, C_1-C_4 -alkyl, C_1-C_4 -alkoxy, trifluoromethyl, trifluoromethoxy or trifluoromethylthio, C_1-C_6 -alkoxy, C_3-C_6 -alkenoxy, C_3-C_6 -alkinoxy or benzyloxy, each of which is optionally substituted by fluorine and/or chlorine, amino, C_1-C_4 -alkylamino, di- $(C_1-C_4$ -alkyl)-amino, phenylamino, C_1-C_4 -alkyl-carbonyl-amino, C_1-C_4 -alkoxy-carbonylamino, C_1-C_4 -alkyl-sulphonylamino, or phenylsulphonylamino optionally substituted by fluorine, chlorine, bromine or methyl,

15

or



wherein

20

R^{10} is hydrogen or C_1-C_4 -alkyl and

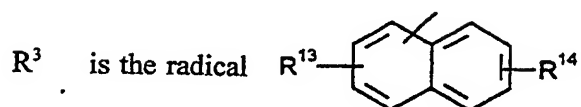
25

R^{11} and R^{12} are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C_1-C_4 -alkyl (which is optionally substituted by fluorine and/or chlorine), C_1-C_4 -alkoxy (which is optionally substituted by fluorine and/or chlorine), carboxyl, C_1-C_4 -alkoxycarbonyl,

dimethylaminocarbonyl, C₁-C₄-alkylsulphonyl or di-(C₁-C₄-alkyl)-aminosulphonyl,

or

5



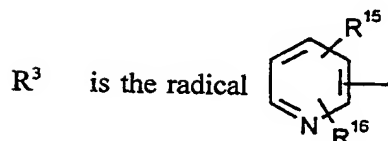
wherein

10

R¹³ and R¹⁴ are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine) or C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine),

15

or



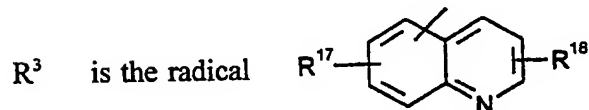
wherein

20

R¹⁵ and R¹⁶ are identical or different and are hydrogen, fluorine, chlorine, bromine, nitro, cyano, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkylthio, C₁-C₄-alkylsulphonyl or C₁-C₄-

alkylsulphonyl (which are optionally substituted by fluorine and/or chlorine), aminosulphonyl, mono-(C₁-C₄-alkyl)-aminosulphonyl, di-(C₁-C₄-alkyl)-aminosulphonyl, C₁-C₄-alkoxy-carbonyl or dimethylaminocarbonyl,

5 or

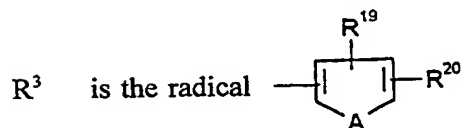


wherein

10 R¹⁷ and R¹⁸ are identical or different and are hydrogen, fluorine, chlorine, bromine, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or bromine), C₁-C₄-alkoxy (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl (which are optionally substituted by fluorine and/or chlorine) or di-(C₁-C₄-alkyl)-aminosulphonyl,

15

or



20 wherein

R¹⁹ and R²⁰ are identical or different and are hydrogen, fluorine, chlorine, bromine, cyano, nitro, C₁-C₄-alkyl (which is optionally substituted by fluorine and/or chlorine), C₁-C₄-alkoxy (which is optionally substituted by

fluorine and/or chlorine), C₁-C₄-alkylthio, C₁-C₄-alkylsulphinyl or C₁-C₄-alkylsulphonyl (which is optionally substituted by fluorine and/or chlorine), di-(C₁-C₄-alkyl)-amino-sulphonyl, C₁-C₄-alkoxy-carbonyl or dimethylaminocarbonyl, and

5

A is oxygen, sulphur or the grouping N-Z¹, in which

10

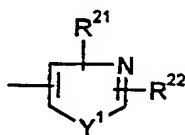
Z¹ is hydrogen, C₁-C₄-alkyl (which is optionally substituted by fluorine, chlorine, bromine or cyano), C₃-C₆-cycloalkyl, benzyl, phenyl (which is optionally substituted by fluorine, chlorine, bromine or nitro), C₁-C₄-alkyl-carbonyl, C₁-C₄-alkoxy-carbonyl or di-(C₁-C₄-alkyl)-aminocarbonyl,

or

15

R³

is the radical



wherein

20

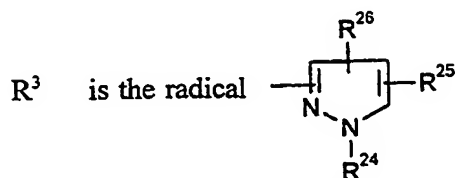
R²¹ and R²² are identical or different and are hydrogen, C₁-C₄-alkyl, halogen, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkoxy or C₁-C₄-halogenoalkoxy, and

Y¹ is sulphur or the grouping N-R²³, in which

25

R²³ is hydrogen or C₁-C₄-alkyl,

or



wherein

R^{24} is hydrogen, C_1 - C_4 -alkyl, benzyl, pyridyl, quinolinyll or phenyl,

5

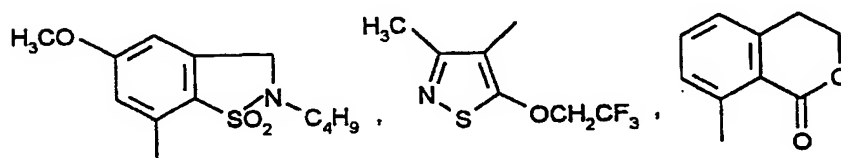
R^{25} is hydrogen, halogen, cyano, nitro, C_1 - C_4 -alkyl (which is optionally substituted by fluorine and/or chlorine), C_1 - C_4 -alkoxy (which is optionally substituted by fluorine and/or chlorine), dioxolanyl or C_1 - C_4 -alkoxy-carbonyl, and

10

R^{26} is hydrogen, halogen or C_1 - C_4 -alkyl,

or

15 R^3 is one of the groupings listed below:



with the exception of the compounds excluded by disclaimer in Claim 1.

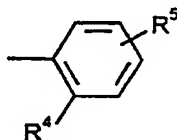
3. Compounds of the formula (I) according to Claim 1, characterized in that

20 n is the number 0, 1 or 2,

5 R^1 is methyl, ethyl, n- or i-propyl or n-, i-, s- or t-butyl, each of which is optionally substituted by fluorine, chlorine, cyano, methoxy or ethoxy, propenyl, butenyl, propinyl or butinyl, each of which is optionally substituted by fluorine, chlorine or bromine, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl, each of which is optionally substituted by fluorine, chlorine, bromine, methyl or ethyl, or benzyl or phenyl, each of which is optionally substituted by fluorine, chlorine, bromine, cyano, methyl, trifluoromethyl or methoxy,

10 R^2 is methyl, ethyl, n- or i-propyl or n-, i-, s- or t-butyl, each of which is optionally substituted by fluorine, chlorine, cyano, methoxy, ethoxy, methylthio or ethylthio, propenyl, butenyl, propinyl, butinyl or allenyl, each of which is optionally substituted by fluorine, chlorine or bromine, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl, each of which is optionally substituted
15 by fluorine, chlorine, bromine, methyl or ethyl, or phenyl or benzyl, each of which is optionally substituted by fluorine, chlorine, bromine, cyano, methyl, trifluoromethyl or methoxy, and

20 R^3 is the radical



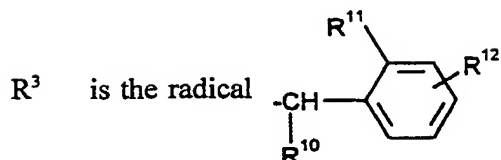
wherein

25 R^4 is fluorine, chlorine, bromine, methyl, ethyl, propyl, trifluoromethyl, butoxy, allyloxy, propargyloxy, methoxy, ethoxy, propoxy, isopropoxy, difluoromethoxy, trifluoromethoxy, 2-chloro-ethoxy, 2-methoxy-ethoxy, C_1 - C_3 -alkylthio, C_1 - C_3 -alkylsulphinyl, C_1 - C_3 -alkylsulphonyl, dimethylaminosulphonyl, diethylaminosulphonyl, N-methoxy-N-methylaminosulphonyl, methoxyaminosulphonyl, phenyl,
30 phenoxy or C_1 - C_3 -alkoxy-carbonyl, and

R^5 is hydrogen, methyl, ethyl, fluorine, chlorine or bromine,

or

5



wherein

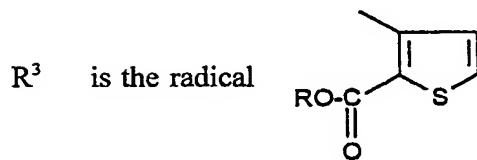
R^{10} is hydrogen,

10

R^{11} is fluorine, chlorine, bromine, methyl, methoxy, difluoromethoxy, trifluoromethoxy, ethoxy, methoxycarbonyl, ethoxycarbonyl, methylsulphonyl or dimethylaminosulphonyl, and

15 R^{12} is hydrogen,

or

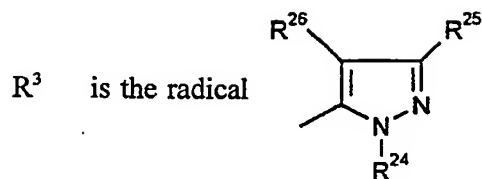


20

wherein

R is C_1 - C_4 -alkyl,

or



wherein

5

R^{24} is C_1 - C_3 -alkyl, phenyl or pyridyl,

R^{25} is hydrogen, fluorine, chlorine or bromine and

10

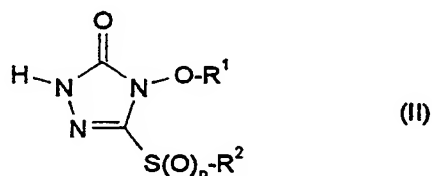
R^{26} is fluorine, chlorine, bromine or C_1 - C_3 -alkoxy-carbonyl,

with the exception of the compounds excluded by disclaimer in Claim 1.

4. Processes for the preparation of the compounds of the formula (I) according
15 to Claim 1, characterized in that

(a) triazolinones of the general formula (II):

20



in which

25

n , R^1 and R^2 are as defined in Claim 1,

are reacted with sulphonyl isocyanates of the general formula (III):



in which

5

R^3 is as defined in Claim 1,

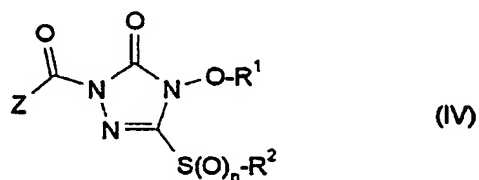
optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

10

or in that

(b) triazolinone derivatives of the general formula (IV):

15



20

in which

n , R^1 and R^2 are as defined above and

Z is halogen, alkoxy, aralkoxy or aryloxy,

25

are reacted with sulphonamides of the general formula (V):



30

in which

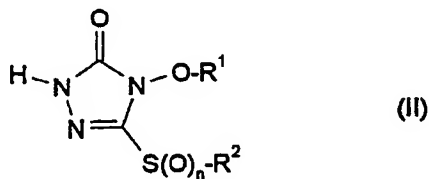
R^3 is as defined above,

optionally in the presence of an acid acceptor and optionally in the presence of a diluent,

or in that

5

(c) triazolinones of the general formula (II):



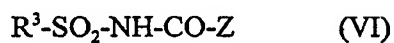
10

in which

n, R¹ and R² are as defined above,

15

are reacted with sulphonamide derivatives of the general formula (VI):



20

in which

R³ is as defined above and

Z is halogen, alkoxy, aralkoxy or aryloxy,

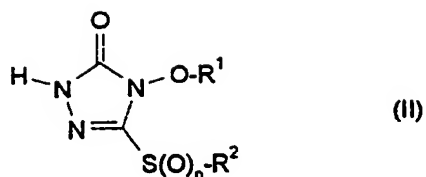
25

optionally in the presence of an acid acceptor and optionally in the presence of a diluent,

or in that

30

(d) triazolinones of the general formula (II):



5 in which

n, R¹ and R² are as defined above,

are reacted with sulphonyl halides of the general formula (VII):

10



in which

15 R³ is as defined above and

X is halogen,

and with metal cyanates of the general formula (VIII):

20



in which

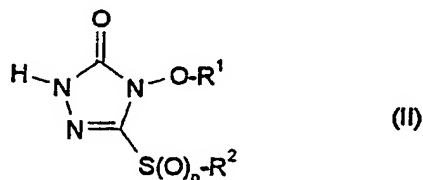
25 M is an alkali metal or alkaline earth metal equivalent,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

30 and the compounds of the formula (I) obtained by process (a), (b), (c) or (d) are optionally converted to salts by conventional methods.

5. Herbicidal and fungicidal compositions, characterized in that they contain at least one compound of the formula (I) according to Claim 1.
6. Use of compounds of the general formula (I) for controlling undesired plant growth and/or phytopathogenic fungi.
7. Triazolinones of the general formula (II):

10



in which

15

n is the number 0, 1 or 2,

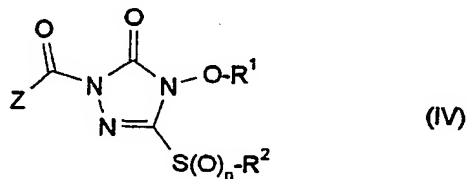
R¹ is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl, and

20

R² is an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl and aryl.

8. Triazolinone derivatives of the general formula (IV):

25



in which

30

n is the number 0, 1 or 2,

R¹ is hydrogen or an optionally substituted radical from the group comprising

alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl,

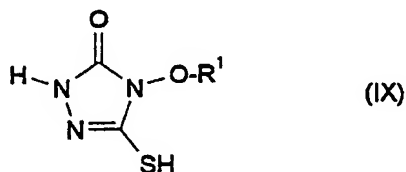
R^2 is an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl and aryl, and

5

Z is halogen, alkoxy, aralkoxy or aryloxy.

9. Mercapto-triazolinones of the general formula (IX):

10



in which

15

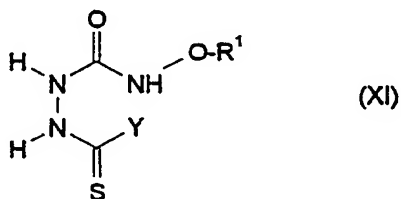
R^1 is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl,

and metal salts of compounds of the formula (IX).

20

10. Semicarbazide derivatives of the general formula (XI):

25



in which

30

R^1 is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl, and

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- 97 -

Y is halogen, imidazolyl, alkoxy, aralkoxy or aryloxy.

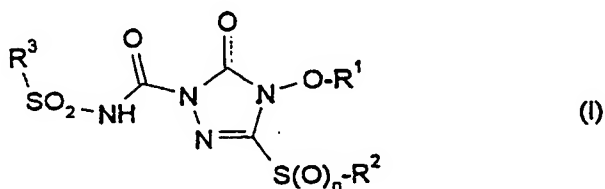
**Fetherstonhaugh & Co.,
Ottawa, Canada
Patent Agents**

Sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur

2201596

Abstract

The invention relates to novel sulphonylaminocarbonyltriazolinones with substituents bonded via oxygen and sulphur of the formula (I):



in which

n is the number 0, 1 or 2,

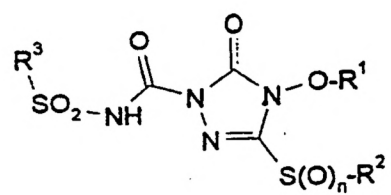
R¹ is hydrogen or an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl and aralkyl,

R² is an optionally substituted radical from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, aralkyl and aryl, and

R³ is an optionally substituted radical from the group comprising alkyl, aralkyl, aryl and heteroaryl,

(with the exclusion of nine specific compounds which are previously known from EP-A 431291 but are covered by the formula (I) above), and salts of the novel compounds of the formula (I), to several processes and various novel intermediates for the preparation of the novel compounds, and to their use - optionally in the form of their salts - as herbicides and fungicides.

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(I)

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